```
Connecting via Winsock to STN



Welcome to STN International!  Enter x:X

LOGINID:SSPTABEM1624

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1          Web Page for STN Seminar Schedule - N. America
 NEWS  2  AUG 15  CAOLD to be discontinued on December 31, 2008
 NEWS  3  OCT 07  EPFULL enhanced with full implementation of EPC2000
 NEWS  4  OCT 07  Multiple databases enhanced for more flexible patent
                  number searching
 NEWS  5  OCT 22  Current-awareness alert (SDI) setup and editing
                  enhanced
 NEWS  6  OCT 22  WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
                  Applications
 NEWS  7  OCT 24  CHEMLIST enhanced with intermediate list of
                  pre-registered REACH substances
 NEWS  8  NOV 21  CAS patent coverage to include exemplified prophetic
                  substances identified in English-, French-, German-,
                  and Japanese-language basic patents from 2004-present
 NEWS  9  NOV 26  MARPAT enhanced with FSORT command
 NEWS 10  NOV 26  MEDLINE year-end processing temporarily halts
                  availability of new fully-indexed citations
 NEWS 11  NOV 26  CHEMSAFE now available on STN Easy
 NEWS 12  NOV 26  Two new SET commands increase convenience of STN
                  searching
 NEWS 13  DEC 01  ChemPort single article sales feature unavailable
 NEWS 14  DEC 12  GBFULL now offers single source for full-text
                  coverage of complete UK patent families
 NEWS 15  DEC 17  Fifty-one pharmaceutical ingredients added to PS

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:27:17 ON 24 DEC 2008

=> fil reg
```

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:27:28 ON 24 DEC 2008

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 DEC 2008 HIGHEST RN 1089286-03-2
DICTIONARY FILE UPDATES: 23 DEC 2008 HIGHEST RN 1089286-03-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

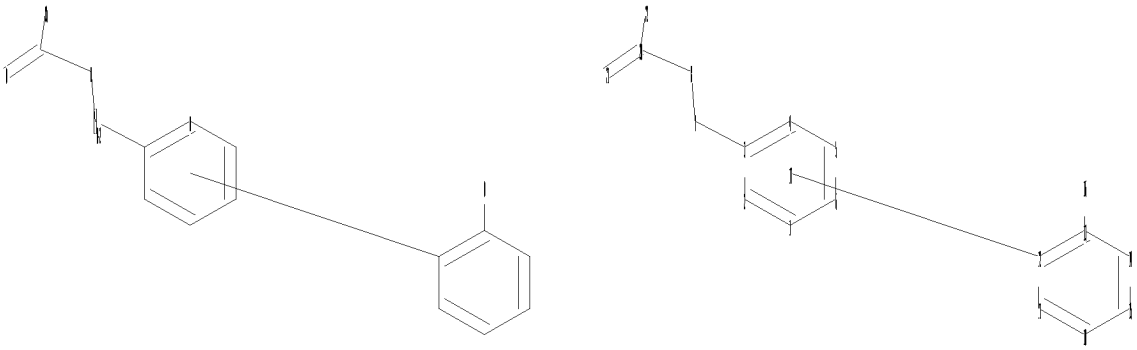
TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10590542.str

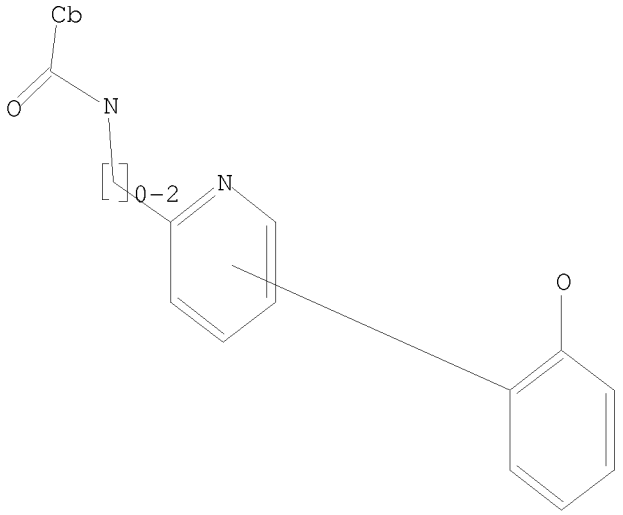


chain nodes :
7 8 16 20 21 22
ring nodes :
1 2 3 4 5 6 10 11 12 13 14 15
chain bonds :
3-7 7-8 8-20 13-16 20-21 20-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
7-8 8-20 13-16 20-21
exact bonds :
3-7 20-22
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:Atom 20:CLASS 21:CLASS 22:Atom
Generic attributes :
22:
Saturation : Saturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 13:27:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 14552 TO ITERATE

13.7% PROCESSED 2000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 283813 TO 298267
PROJECTED ANSWERS: 1 TO 306

L2 1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 13:27:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 291038 TO ITERATE

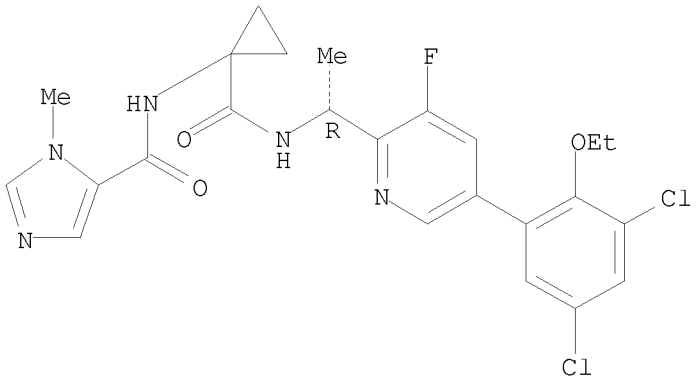
100.0% PROCESSED 291038 ITERATIONS 176 ANSWERS
SEARCH TIME: 00.00.15

L3 176 SEA SSS FUL L1

=> d scan

L3 176 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 1H-Imidazole-5-carboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-1-methyl-
MF C24 H24 Cl2 F N5 O3

Absolute stereochemistry.

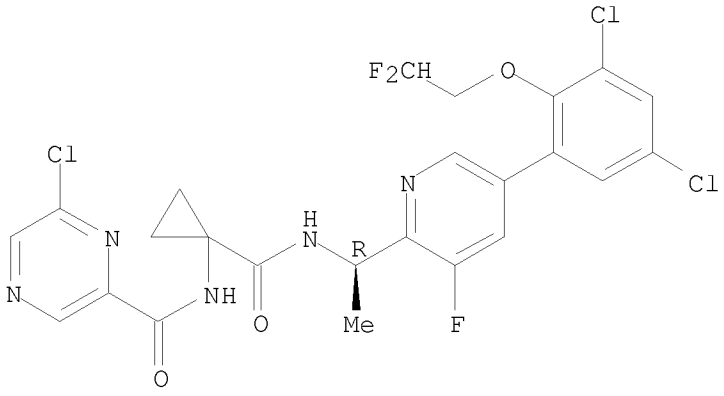


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 176 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 2-Pyrazinecarboxamide, 6-chloro-N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-
MF C24 H19 Cl3 F3 N5 O3

Absolute stereochemistry.

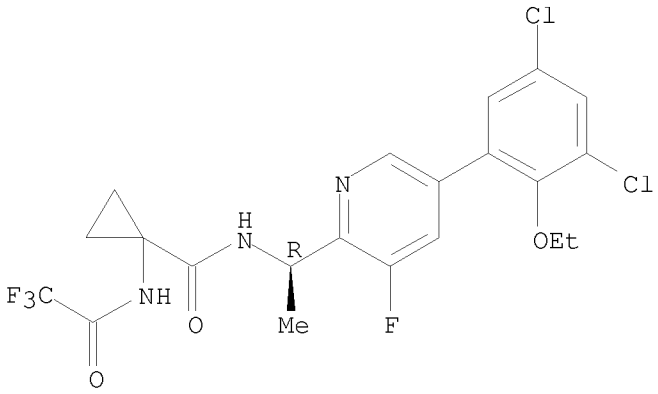


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 176 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Cyclopropanecarboxamide, N-[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]-
MF C21 H19 Cl2 F4 N3 O3

Absolute stereochemistry.

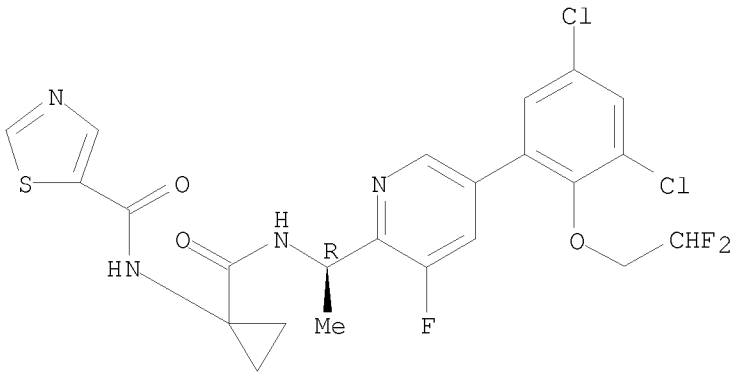


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 176 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 5-Thiazolecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-
MF C23 H19 Cl2 F3 N4 O3 S

Absolute stereochemistry.

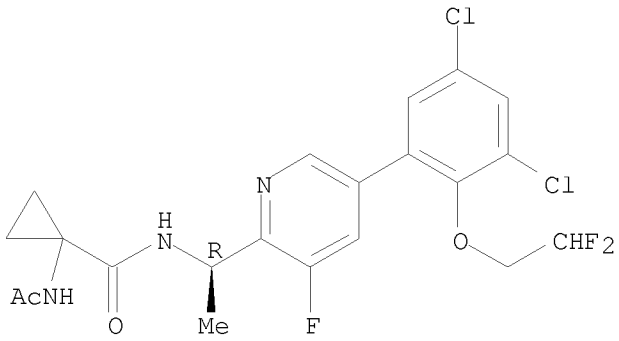


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 176 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Cyclopropanecarboxamide, 1-(acetylamino)-N-[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]-
MF C21 H20 Cl2 F3 N3 O3

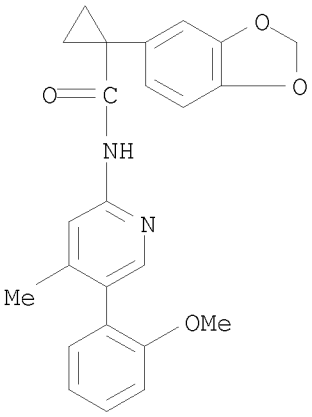
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 176 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Cyclopropanecarboxamide, 1-(1,3-benzodioxol-5-yl)-N-[5-(2-methoxyphenyl)-4-methyl-2-pyridinyl]-
MF C24 H22 N2 O4

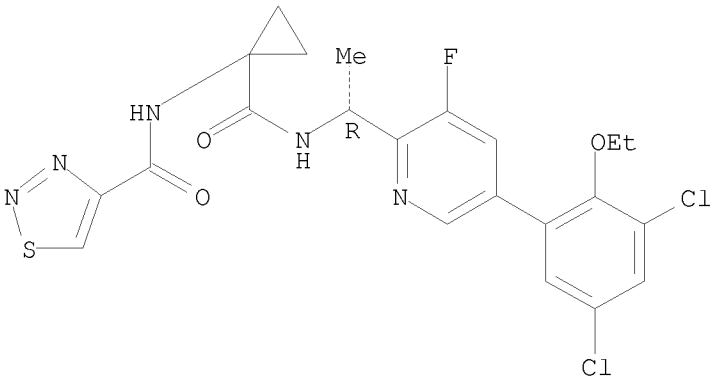


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 176 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 1,2,3-Thiadiazole-4-carboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-
MF C22 H20 Cl2 F N5 O3 S

Absolute stereochemistry.

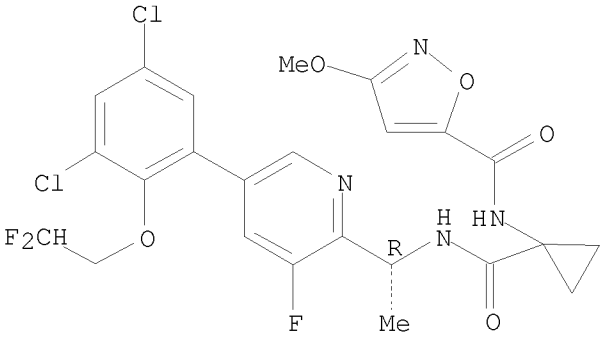


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 176 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-3-methoxy-
MF C24 H21 Cl2 F3 N4 O5

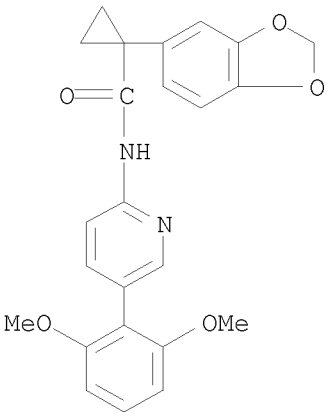
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 176 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Cyclopropanecarboxamide, 1-(1,3-benzodioxol-5-yl)-N-[5-(2,6-dimethoxyphenyl)-2-pyridinyl]-
MF C24 H22 N2 O5

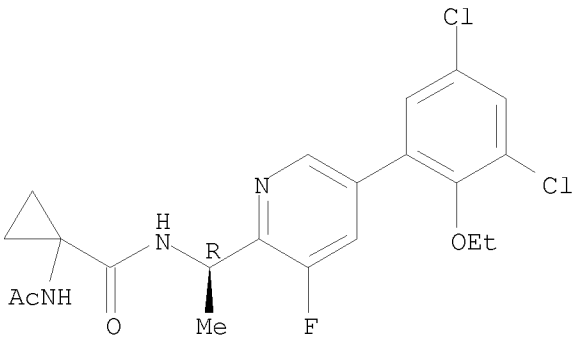


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 176 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Cyclopropanecarboxamide, 1-(acetylamino)-N-[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]-
MF C21 H22 Cl2 F N3 O3

Absolute stereochemistry.

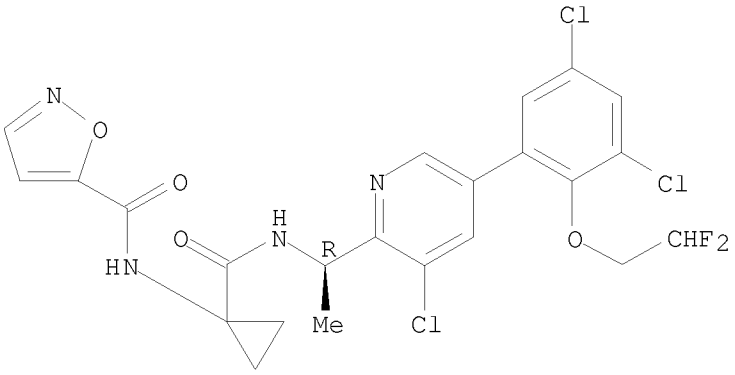


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 176 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-
MF C23 H19 Cl3 F2 N4 O4

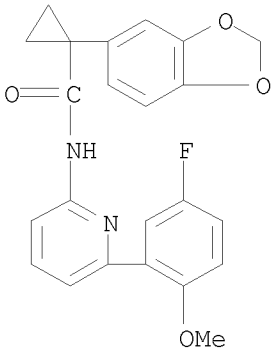
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 176 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Cyclopropanecarboxamide, 1-(1,3-benzodioxol-5-yl)-N-[6-(5-fluoro-2-methoxyphenyl)-2-pyridinyl]-
MF C23 H19 F N2 O4

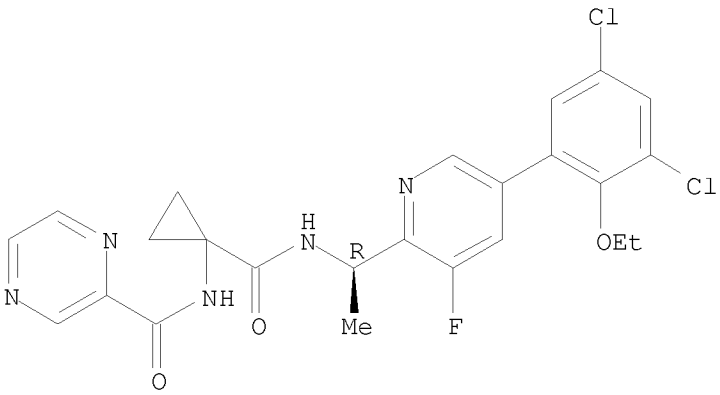


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 176 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 2-Pyrazinecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-
MF C24 H22 Cl2 F N5 O3

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil cap

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.82	179.03

FILE 'CAPLUS' ENTERED AT 13:28:47 ON 24 DEC 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 24 Dec 2008 VOL 149 ISS 26
FILE LAST UPDATED: 23 Dec 2008 (20081223/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> d his

     (FILE 'HOME' ENTERED AT 13:27:17 ON 24 DEC 2008)

     FILE 'REGISTRY' ENTERED AT 13:27:28 ON 24 DEC 2008
L1   STRUCTURE UPLOADED
L2   1 S L1
L3   176 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 13:28:47 ON 24 DEC 2008

=> s l3
L4   12 L3

=> s l3 and (pry<2005)
           12 L3
      4595104 PRY<2005
L5   7 L3 AND (PRY<2005)

=> d 1-7 ibib abs hitstr

L5   ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:1174539 CAPLUS
DOCUMENT NUMBER: 149:402214
TITLE: Preparation of pyridine carboxamides as serine
                         protease inhibitors for treating thromboembolic and
                         inflammatory disorders
INVENTOR(S):   Corte, James R.; Hangeland, Jon J.; Quan, Miml L.;
                         Smallheer, Joanne M.; Fang, Tianan
PATENT ASSIGNEE(S):   Bristol Myers Squibb Company, USA
SOURCE: U.S., 172pp.
                         CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

     PATENT NO.   KIND   DATE   APPLICATION NO.   DATE
     ---------------   ----   --------   --------------------   --------
     US 7429604   B2   20080930   US 2005-151627   20050613 <--

US 20060009455	A1	20060112			
AU 2005255029	A1	20051229	AU 2005-255029	20050614	<--
WO 2005123680	A1	20051229	WO 2005-US20971	20050614	<--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1773775	A1	20070418	EP 2005-787697	20050614	<--

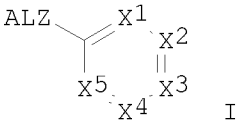
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV, MK, YU

CN 101010299	A	20070801	CN 2005-80027485	20050614	<--
JP 2008502692	T	20080131	JP 2007-516646	20050614	<--
MX 2006PA14799	A	20070216	MX 2006-PA14799	20061215	<--
NO 2007000201	A	20070312	NO 2007-201	20070111	<--
KR 2007022867	A	20070227	KR 2007-700830	20070112	<--

PRIORITY APPLN. INFO.:		US 2004-579637P	P	20040615 <--
		US 2005-683838P	P	20050524
		US 2005-151627	A	20050613
		WO 2005-US20971	W	20050614

OTHER SOURCE(S): MARPAT 149:402214

GI



I

AB Title compds. [I; A = (substituted) cycloalkyl, cycloalkenyl, Ph, naphthyl, heterocyclyl; X1-X4 = CR3, CR4, NR6, NO, CO; ≥1 of X1-X4 = CR3; X5 = N, NR6, NO; Z = CHR11, NR13; L = CONR10, CH2CONR10, CH2NR10CO, etc.; R3 = (CH2)rCONR8R9, Q(CH2)r, etc.; r = 0-4; Q = (substituted) Ph, heterocyclyl; R4 = H, O, F, Cl, Br, iodo, OCF3, CF3, cyano, NO2, CONR8R9, etc.; R6 = H, alkyl, haloalkyl, (substituted) Ph(CH2)r, etc.; R8 = H, (substituted) alkyl, Q(CH2)n; n = 0-4; R9 = H, (substituted) Ph(CH2)n; R10 = H, (substituted) alkyl, alkenyl, alkynyl, carbocyclyl(alkyl), etc.; R11 = haloalkyl, (CH2)rCONR8R9, (substituted) alkyl, alkenyl, alkynyl, carbocyclyl, etc.; R13 = H, (substituted) alkyl, Q(CH2)n, acyl, etc.], were prepared Thus, 2-phenyl-1-(4-phenylpyridin-2-yl)ethanamine.2TFA (preparation given) in DMF at 0° was treated sequentially with Hunig's base, Boc-tranexamic acid, HOBt, and EDCI followed by warming to room temperature to give coupling product which was treated with CF3CO2H in CH2Cl2 to give 4-aminomethylcyclohexanecarboxylic acid [2-phenyl-1-(4-phenylpyridin-2-yl)ethyl]amide bistrifluoroacetate. The compds. of Formula I are useful as selective inhibitors of serine protease enzymes of the coagulation cascade and/or contact activation system; for example thrombin, factor Xa, factor XIa, factor IXa, factor VIIa and/or plasma kallikrein. This invention also relates to pharmaceutical compns. comprising these compds. and methods of treating thromboembolic and/or inflammatory disorders using the same. Preferred I inhibited Factor XIa

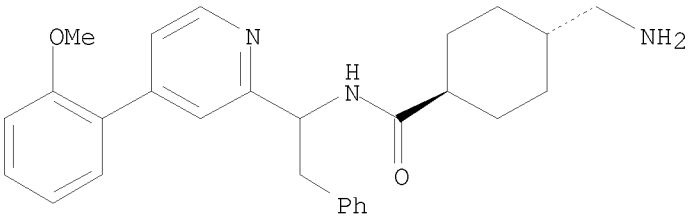
with Ki ≤15 μM.

IT 872458-93-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of pyridine carboxamides as serine protease inhibitors for treating thromboembolic and inflammatory disorders)

RN 872458-93-0 CAPLUS

CN Cyclohexanecarboxamide, 4-(aminomethyl)-N-[1-[4-(2-methoxyphenyl)-2-pyridinyl]-2-phenylethyl]-, trans- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1351066 CAPLUS

DOCUMENT NUMBER: 144:88174

TITLE: Preparation of pyridine carboxamides as serine protease inhibitors

INVENTOR(S): Corte, James; Hangeland, Jon; Quan, Mimi; Smallheer, Joanne M.; Fang, Tianan

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

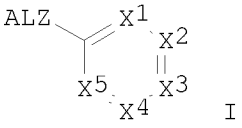
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123680	A1	20051229	WO 2005-US20971	20050614 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 7429604	B2	20080930	US 2005-151627	20050613 <--
US 20060009455	A1	20060112		
AU 2005255029	A1	20051229	AU 2005-255029	20050614 <--
EP 1773775	A1	20070418	EP 2005-787697	20050614 <--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV,				

MK, YU

CN 101010299	A	20070801	CN 2005-80027485		20050614 <--
JP 2008502692	T	20080131	JP 2007-516646		20050614 <--
IN 2006DN07496	A	20070817	IN 2006-DN7496		20061212 <--
MX 2006PA14799	A	20070216	MX 2006-PA14799		20061215 <--
NO 2007000201	A	20070312	NO 2007-201		20070111 <--
KR 2007022867	A	20070227	KR 2007-700830		20070112 <--
PRIORITY APPLN. INFO.:			US 2004-579637P	P	20040615 <--
			US 2005-683838P	P	20050524
			US 2005-151627	A	20050613
			WO 2005-US20971	W	20050614

OTHER SOURCE(S): CASREACT 144:88174; MARPAT 144:88174

GI



I

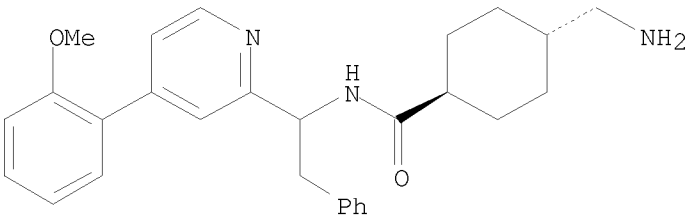
AB Title compds. [I; A = (substituted) cycloalkyl, cycloalkenyl, Ph, naphthyl, heterocyclyl; X1-X4 = CR3, CR4, NR6, NO, CO; ≥1 of X1-X4 = CR3; X5 = N, NR6, NO; Z = CHR11, NR13; L = CONR10, CH2CONR10, CH2NR10CO, etc.; R3 = (CH2)rCONR8R9, Q(CH2)r, etc.; r = 0-4; Q = (substituted) Ph, heterocyclyl; R4 = H, O, F, Cl, Br, iodo, OCF3, CF3, cyano, NO2, CONR8R9, etc.; R6 = H, alkyl, haloalkyl, (substituted) Ph(CH2)r, etc.; R8 = H, (substituted) alkyl, Q(CH2)n; n = 0-4; R9 = H, (substituted) Ph(CH2)n; R10 = H, (substituted) alkyl, alkenyl, alkynyl, carbocyclyl(alkyl), etc.; R11 = haloalkyl, (CH2)rCONR8R9, (substituted) alkyl, alkenyl, alkynyl, carbocyclyl, etc.; R13 = H, (substituted) alkyl, Q(CH2)n, acyl, etc.], were prepared Thus, 2-phenyl-1-(4-phenylpyridin-2-yl)ethanamine.2TFA (preparation given) in DMF at 0° was treated sequentially with Hunig's base, Boc-tranexamic acid, HOBt, and EDCI followed by warming to room temperature to give coupling product which was treated with CF3CO2H in CH2Cl2 to give 4-aminomethylcyclohexanecarboxylic acid [2-phenyl-1-(4-phenylpyridin-2-yl)ethyl]amide bistrifluoroacetate. Preferred I inhibited Factor XIa with Ki ≤15 μM.

IT 872458-93-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of pyridine carboxamides as serine protease inhibitors)

RN 872458-93-0 CAPLUS

CN Cyclohexanecarboxamide, 4-(aminomethyl)-N-[1-[4-(2-methoxyphenyl)-2-pyridinyl]-2-phenylethyl]-, trans- (CA INDEX NAME)

Relative stereochemistry.

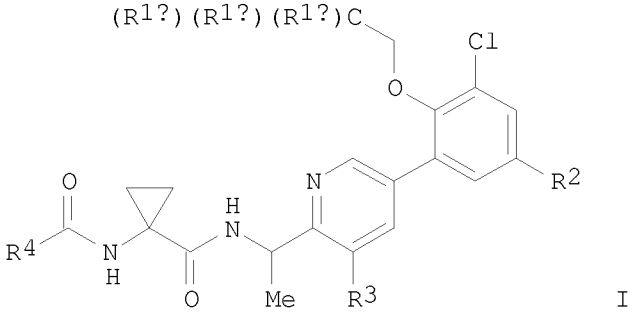


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

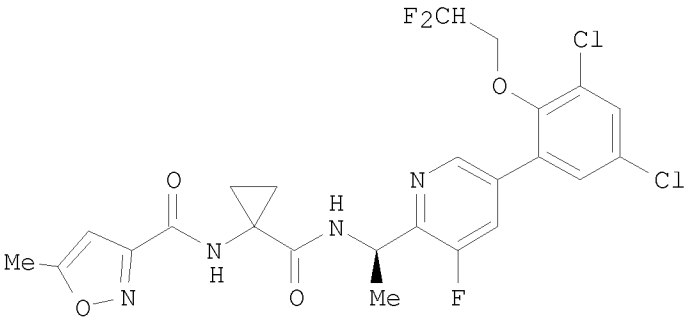
L5 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1004708 CAPLUS
DOCUMENT NUMBER: 143:306182
TITLE: Preparation of 1-aminocyclopropane-1-carboxamide derivatives as bradykinin B1 antagonists
INVENTOR(S): Bock, Mark G.; Feng, Dong-Mei; Kuduk, Scott
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2005085198	A2	20050915	WO 2005-US6230		20050225	<--
WO 2005085198	A3	20051124				
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW						
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
AU 2005219836	A1	20050915	AU 2005-219836		20050225	<--
CA 2557858	A1	20050915	CA 2005-2557858		20050225	<--
EP 1723143	A2	20061122	EP 2005-714101		20050225	<--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, LV						
CN 1926136	A	20070307	CN 2005-80006734		20050225	<--
JP 2007526311	T	20070913	JP 2007-501866		20050225	<--
IN 2006DN05022	A	20070413	IN 2006-DN5022		20060831	<--
PRIORITY APPLN. INFO.:			US 2004-549379P	P	20040302	<--
			WO 2005-US6230	W	20050225	

OTHER SOURCE(S): CASREACT 143:306182; MARPAT 143:306182
GI



I



II

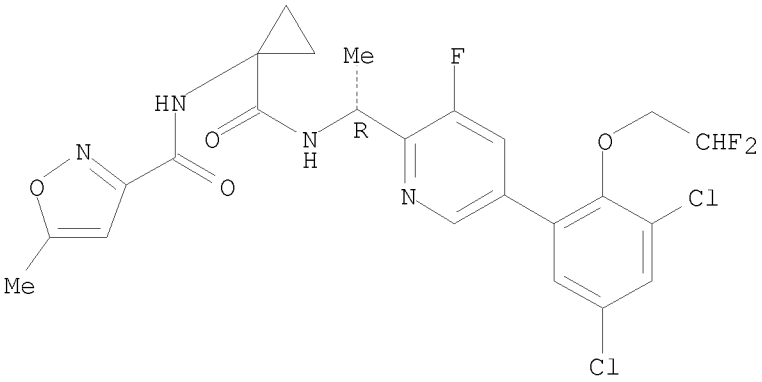
AB Title compds. I [wherein R1a, R1b, R1c = H or F; R2 = H or Cl; R3 = Cl or F; R4 = (un)substituted (cyclo)alkyl, aryl or heterocycle, or pharmaceutically acceptable salts thereof] were prepared as antagonists or inverse agonists of bradykinin receptors, especially as antagonists of bradykinin receptor B1. For instance, II was synthesized by acylation of dihydrochloride salt of the corresponding cyclopropanamine with 5-methylisoxazole-3-carbonyl chloride in the presence of DIPEA. I exhibited affinity for the B1 receptor with IC50 values of < 5μM. Therefore, I and their pharmaceutical compns. (examples given) are useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin B1 pathway.

IT 864641-38-3P 864641-40-7P 864641-42-9P
864641-44-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aminocyclopropanecarboxamide derivs. as bradykinin B1 antagonists)

RN 864641-38-3 CAPLUS

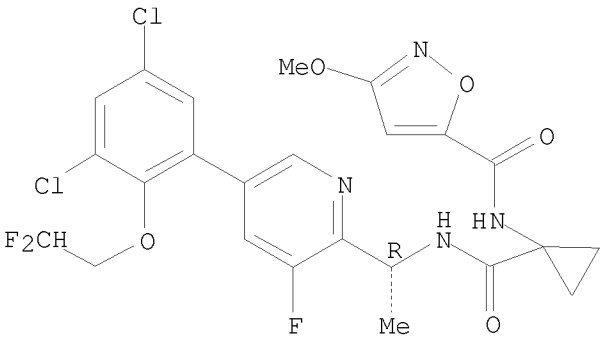
CN 3-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



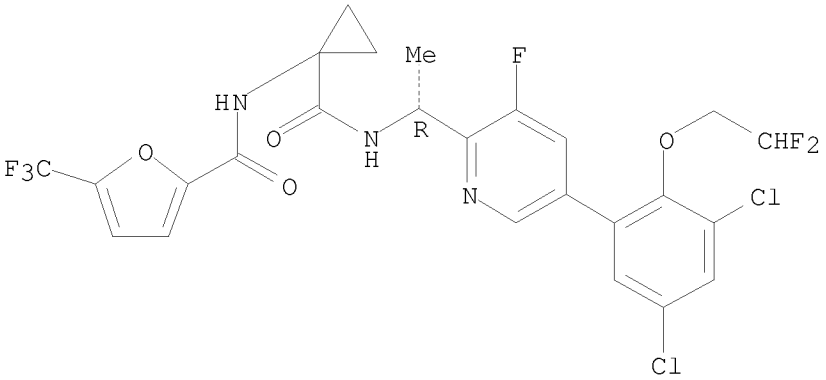
RN 864641-40-7 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-3-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



RN 864641-42-9 CAPLUS
CN 2-Furancarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-5-(trifluoromethyl)- (CA INDEX NAME)

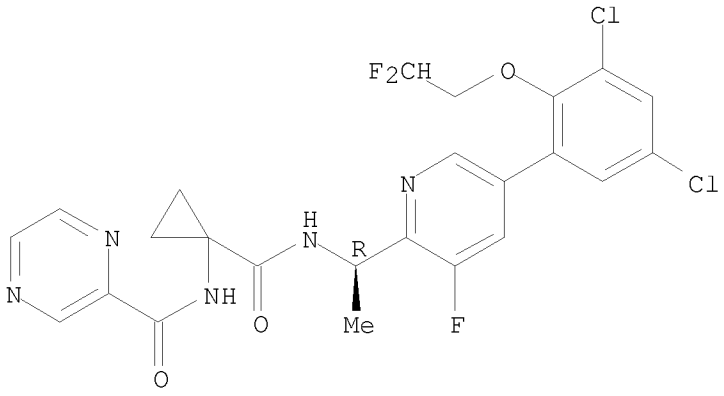
Absolute stereochemistry.



RN 864641-44-1 CAPLUS
CN 2-Pyrazinecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-

difluoroethoxy)phenyl]-3-fluoro-2-
pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.

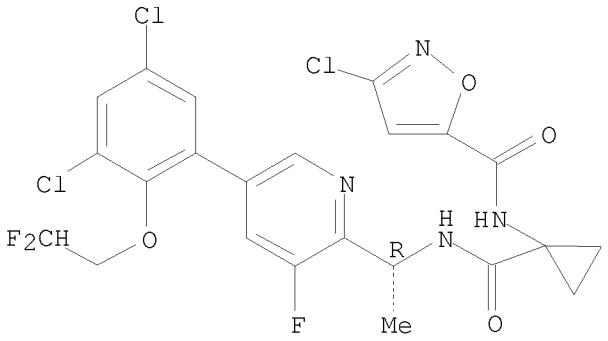


IT 864641-46-3P 864641-48-5P 864641-49-6P
864641-50-9P 864641-51-0P 864641-52-1P
864641-53-2P 864641-54-3P 864641-55-4P
864641-56-5P 864641-57-6P 864641-58-7P
864641-59-8P 864641-60-1P 864641-61-2P
864641-62-3P 864641-63-4P 864641-65-6P
864641-66-7P 864641-68-9P 864641-69-0P
864641-70-3P 864641-72-5P 864641-74-7P
864641-76-9P 864641-78-1P 864641-80-5P
864641-82-7P 864641-84-9P 864641-85-0P
864641-86-1P 864641-88-3P 864641-90-7P
864641-92-9P 864641-93-0P 864641-94-1P
864641-96-3P 864641-98-5P 864642-00-2P
864642-01-3P 864642-02-4P 864642-03-5P
864642-05-7P 864642-06-8P 864642-08-0P
864642-09-1P 864642-10-4P 864642-12-6P
864642-14-8P 864642-16-0P 864642-18-2P
864642-20-6P 864642-22-8P 864642-24-0P
864642-25-1P 864642-26-2P 864642-27-3P
864642-28-4P 864642-29-5P 864642-30-8P
864642-31-9P 864642-32-0P 864642-34-2P
864642-35-3P 864642-37-5P 864642-38-6P
864642-39-7P 864642-41-1P 864642-43-3P
864642-45-5P 864642-47-7P 864642-49-9P
864642-51-3P 864642-53-5P 864642-54-6P
864642-55-7P 864642-56-8P 864642-58-0P
864642-60-4P 864642-62-6P 864642-64-8P
864642-66-0P 864642-68-2P 864642-70-6P
864642-72-8P 864642-74-0P 864642-76-2P
864642-78-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminocyclopropanecarboxamide derivs. as bradykinin B1 antagonists)

RN 864641-46-3 CAPLUS

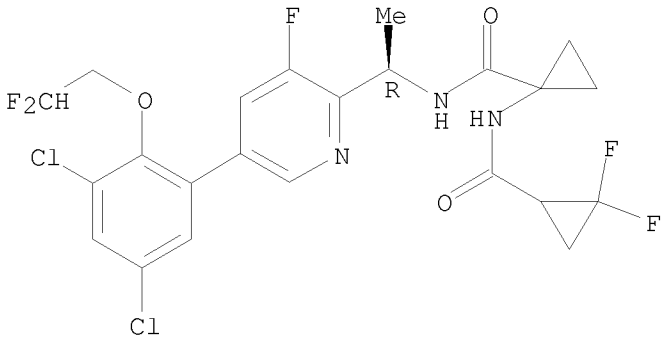
CN 5-Isoxazolecarboxamide, 3-chloro-N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



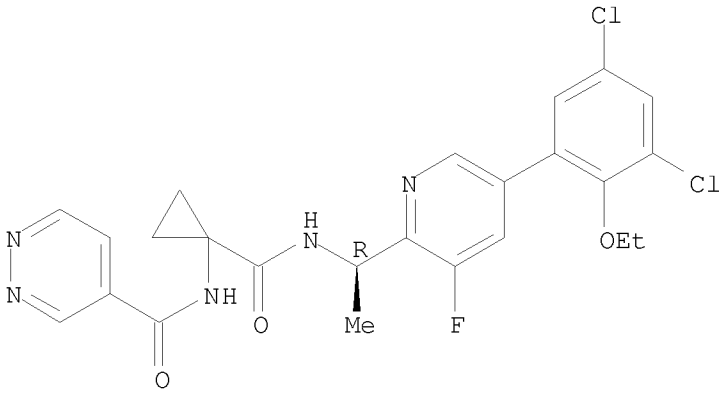
RN 864641-48-5 CAPLUS
CN Cyclopropanecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-2,2-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 864641-49-6 CAPLUS
CN 4-Pyridazinecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

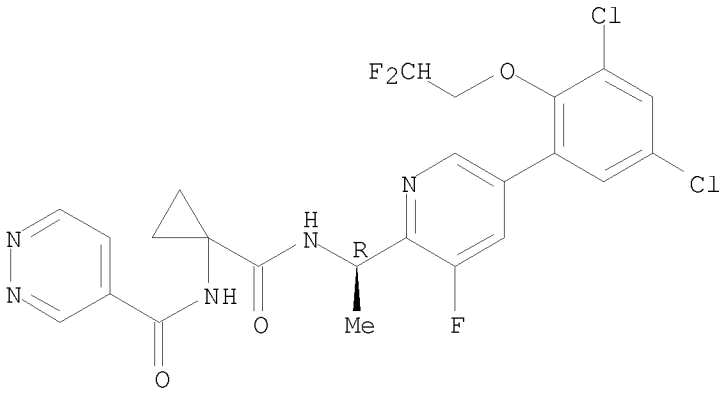
Absolute stereochemistry.



RN 864641-50-9 CAPLUS
CN 4-Pyridazinecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-

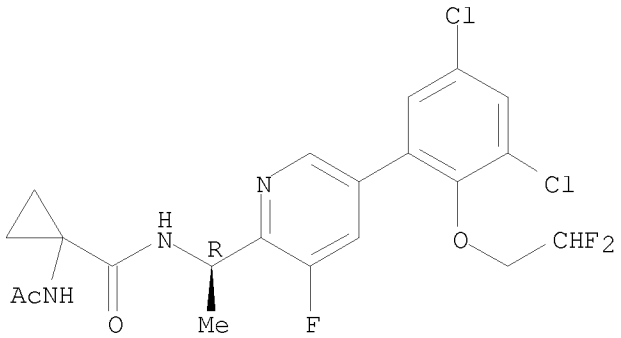
pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



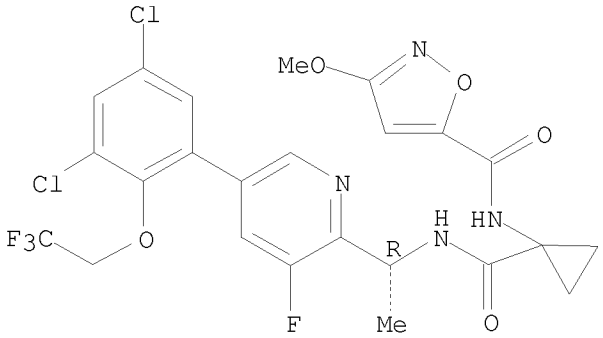
RN 864641-51-0 CAPLUS
CN Cyclopropanecarboxamide, 1-(acetylamino)-N-[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 864641-52-1 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2,2-trifluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-3-methoxy- (CA INDEX NAME)

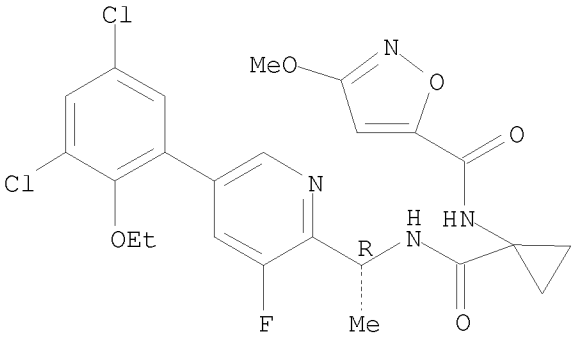
Absolute stereochemistry.



RN 864641-53-2 CAPLUS

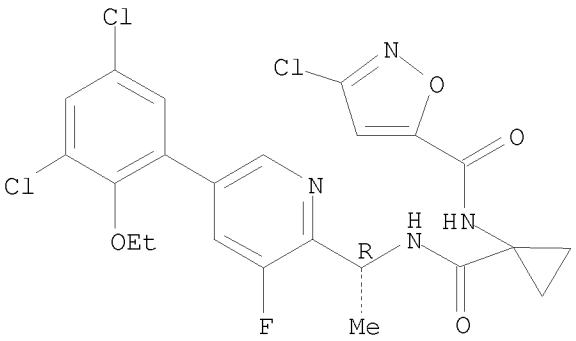
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-3-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



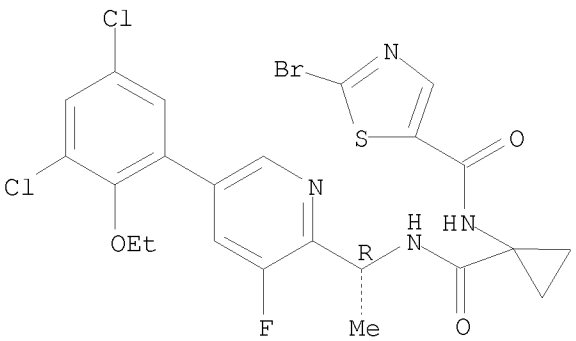
RN 864641-54-3 CAPLUS
CN 5-Isoxazolecarboxamide, 3-chloro-N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



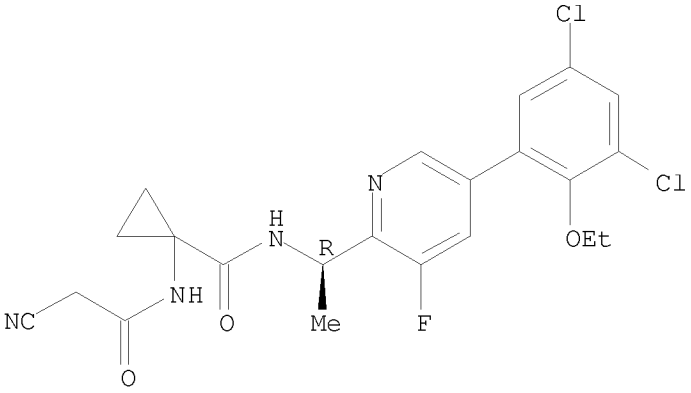
RN 864641-55-4 CAPLUS
CN 5-Thiazolecarboxamide, 2-bromo-N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



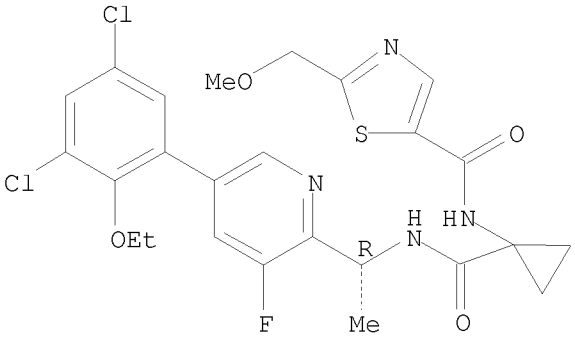
RN 864641-56-5 CAPLUS
CN Cyclopropanecarboxamide, 1-[(2-cyanoacetyl)amino]-N-[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



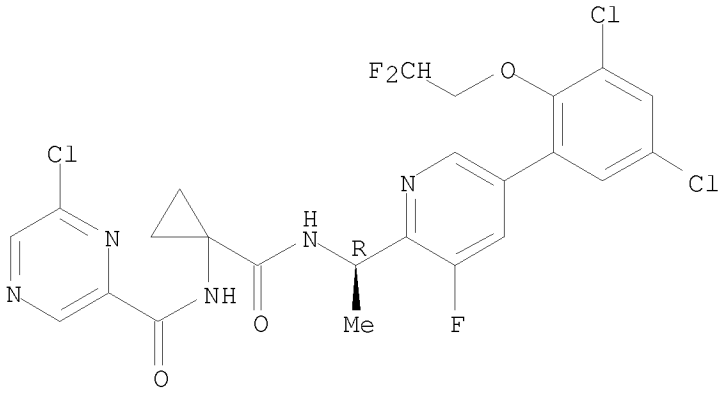
RN 864641-57-6 CAPLUS
CN 5-Thiazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-2-(methoxymethyl)- (CA INDEX NAME)

Absolute stereochemistry.



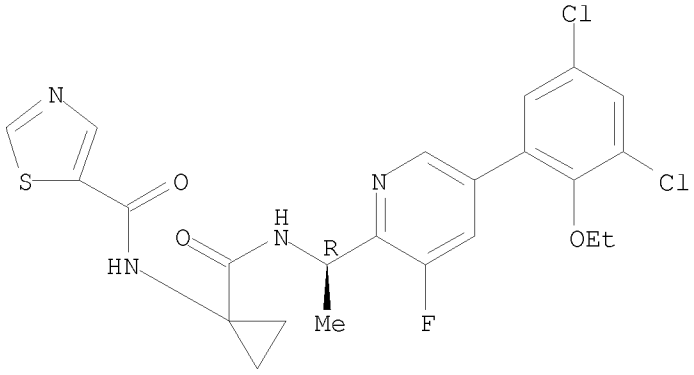
RN 864641-58-7 CAPLUS
CN 2-Pyrazinecarboxamide, 6-chloro-N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



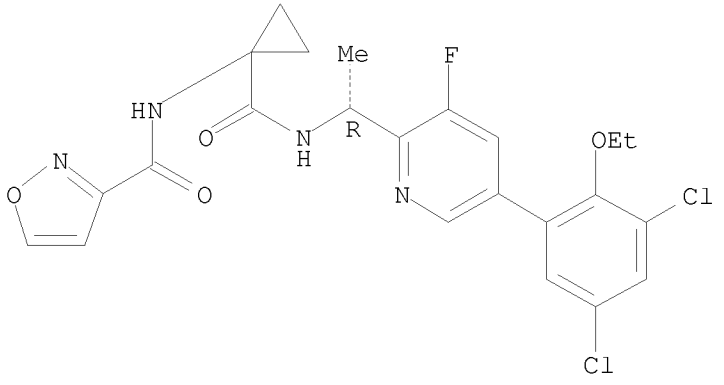
RN 864641-59-8 CAPLUS
CN 5-Thiazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 864641-60-1 CAPLUS
CN 3-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

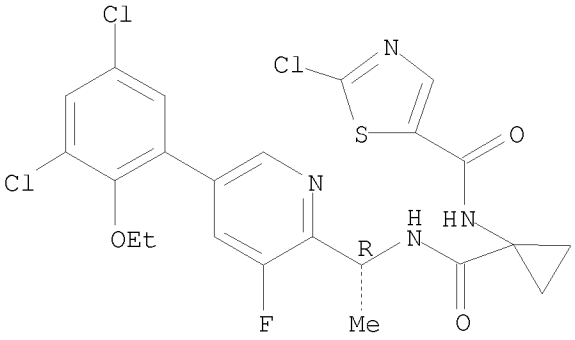
Absolute stereochemistry.



RN 864641-61-2 CAPLUS
CN 5-Thiazolecarboxamide, 2-chloro-N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA

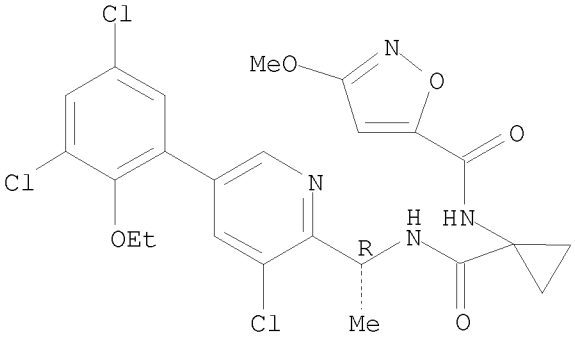
INDEX NAME)

Absolute stereochemistry.



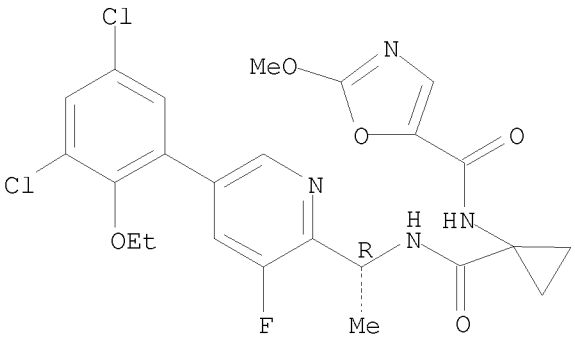
RN 864641-62-3 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-(3,5-dichloro-2-ethoxyphenyl)-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-3-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



RN 864641-63-4 CAPLUS
CN 5-Oxazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-2-methoxy- (CA INDEX NAME)

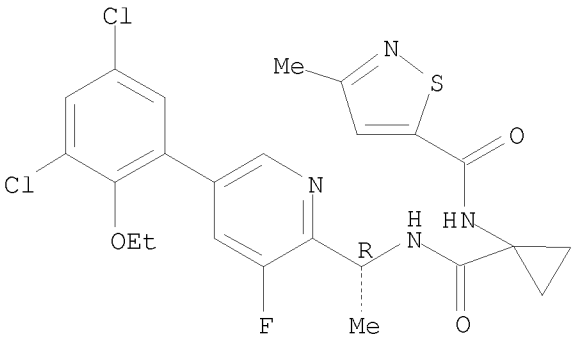
Absolute stereochemistry.



RN 864641-65-6 CAPLUS
CN 5-Isothiazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-

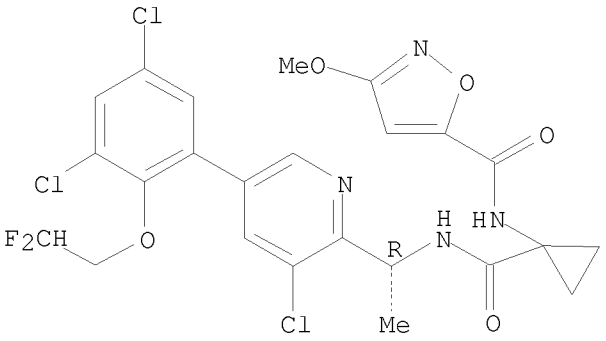
3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



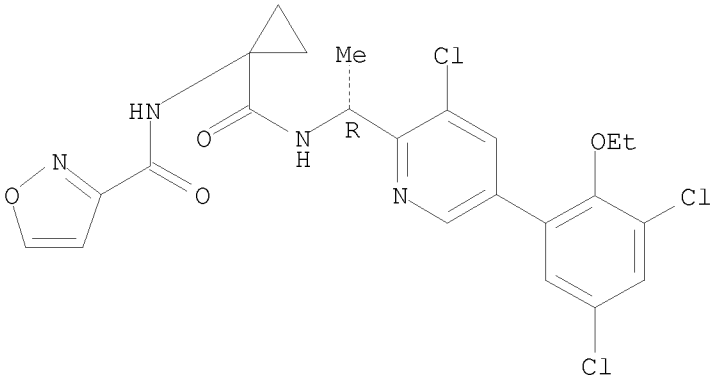
RN 864641-66-7 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-3-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



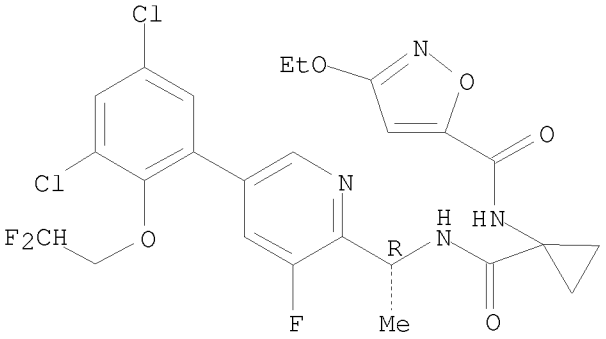
RN 864641-68-9 CAPLUS
CN 3-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-(3,5-dichloro-2-ethoxyphenyl)-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



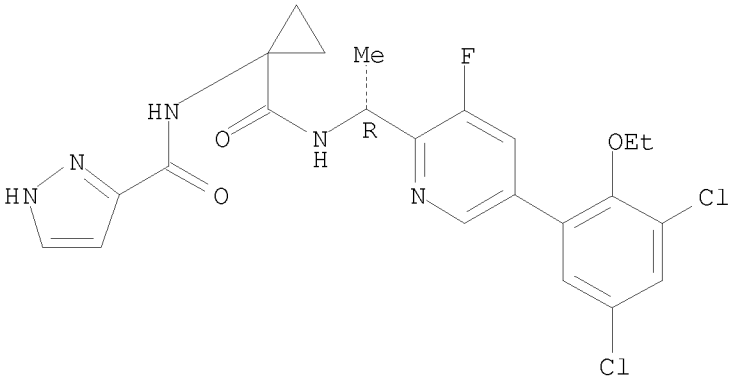
RN 864641-69-0 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-3-ethoxy- (CA INDEX NAME)

Absolute stereochemistry.



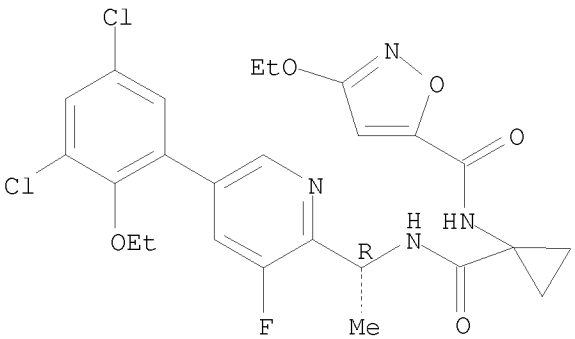
RN 864641-70-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



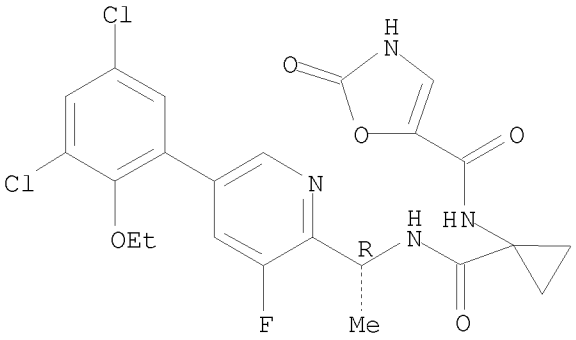
RN 864641-72-5 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-3-ethoxy- (CA INDEX NAME)

Absolute stereochemistry.



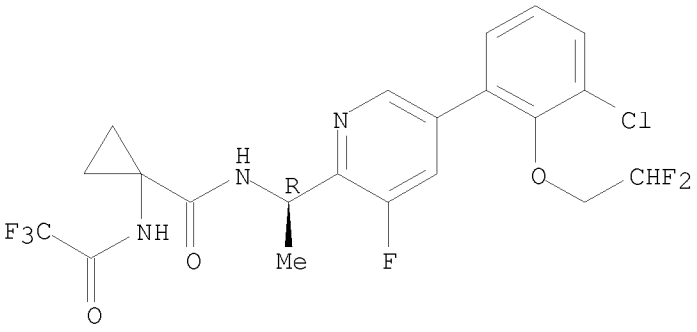
RN 864641-74-7 CAPLUS
CN 5-Oxazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-2,3-dihydro-2-oxo- (CA INDEX NAME)

Absolute stereochemistry.



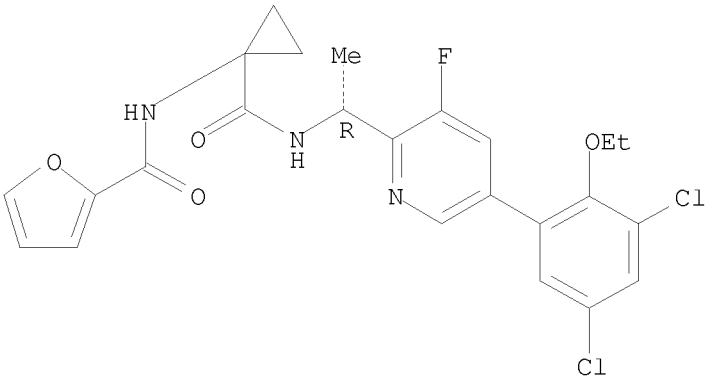
RN 864641-76-9 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[5-[3-chloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



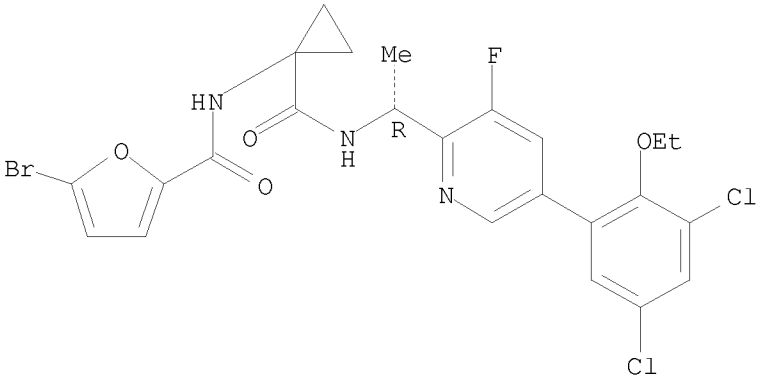
RN 864641-78-1 CAPLUS
CN 2-Furancarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



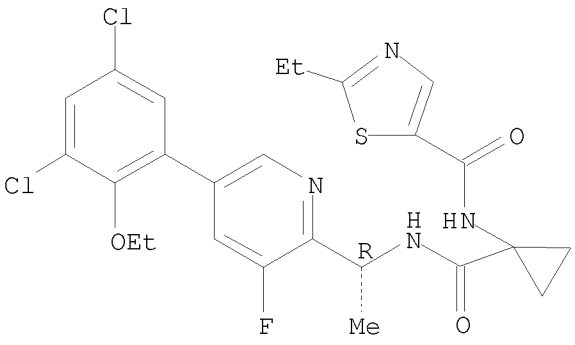
RN 864641-80-5 CAPLUS
CN 2-Furancarboxamide, 5-bromo-N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 864641-82-7 CAPLUS
CN 5-Thiazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-2-ethyl- (CA INDEX NAME)

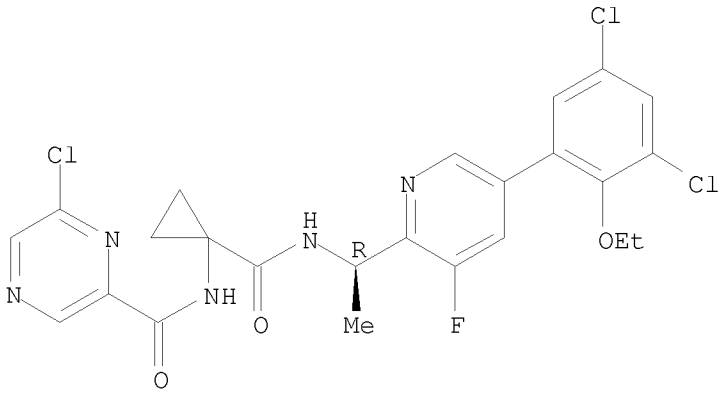
Absolute stereochemistry.



RN 864641-84-9 CAPLUS
CN 2-Pyrazinecarboxamide, 6-chloro-N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA

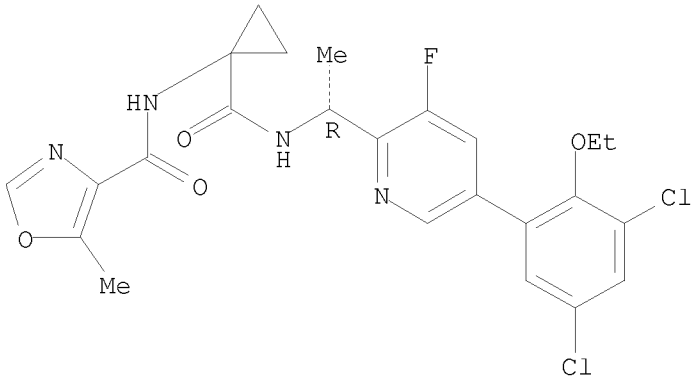
INDEX NAME)

Absolute stereochemistry.



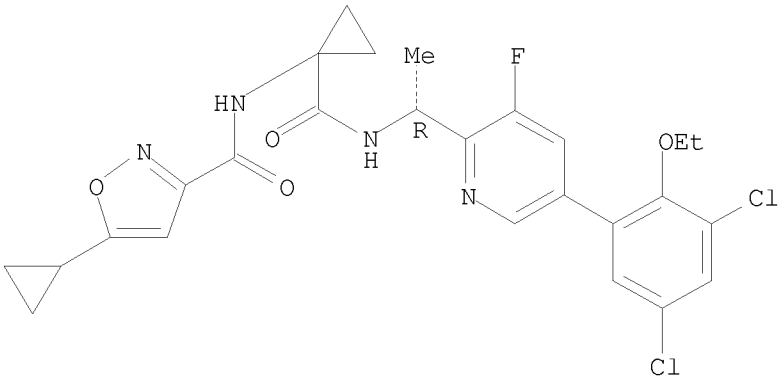
RN 864641-85-0 CAPLUS
CN 4-Oxazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



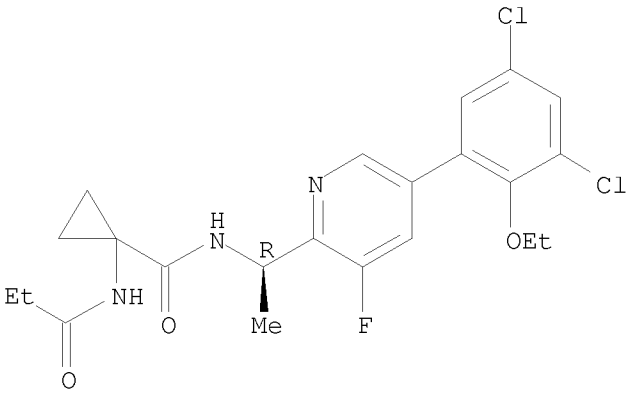
RN 864641-86-1 CAPLUS
CN 3-Isoxazolecarboxamide, 5-cyclopropyl-N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



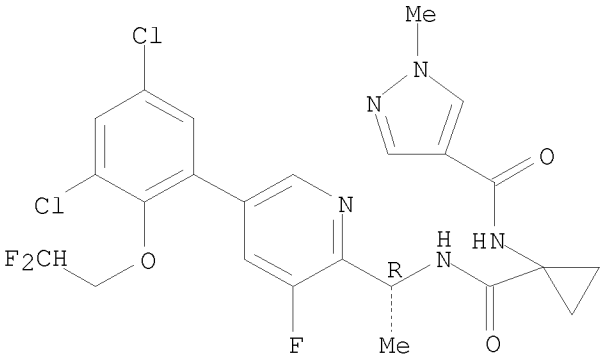
RN 864641-88-3 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]-1-[(1-oxopropyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 864641-90-7 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-1-methyl- (CA INDEX NAME)

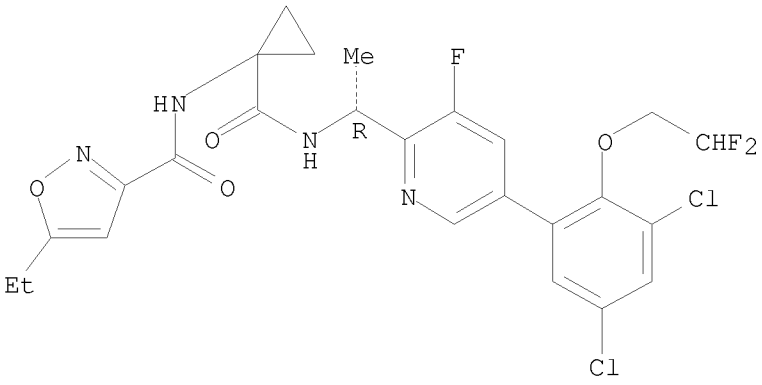
Absolute stereochemistry.



RN 864641-92-9 CAPLUS
CN 3-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-

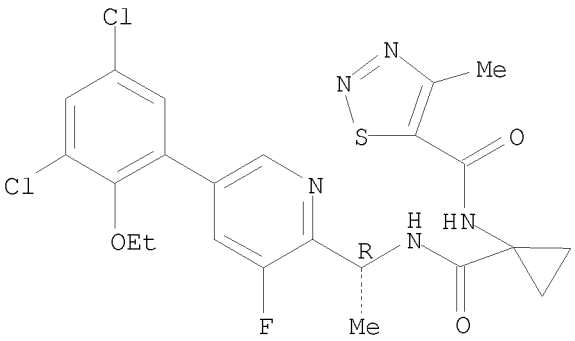
difluoroethoxy)phenyl]-3-fluoro-2-
pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-5-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



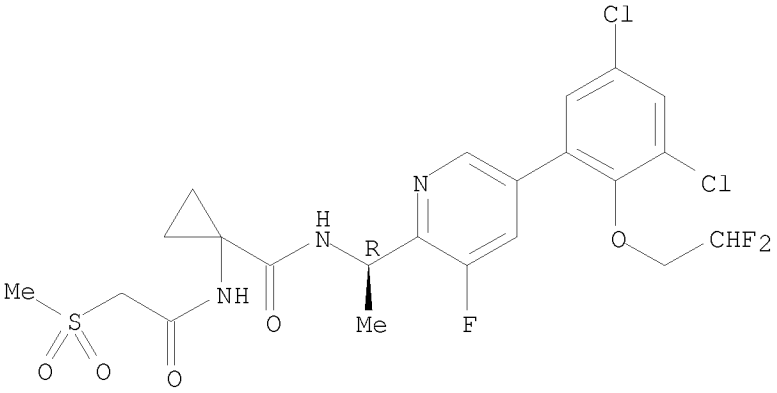
RN 864641-93-0 CAPLUS
CN 1,2,3-Thiadiazole-5-carboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-
ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-4-
methyl- (CA INDEX NAME)

Absolute stereochemistry.



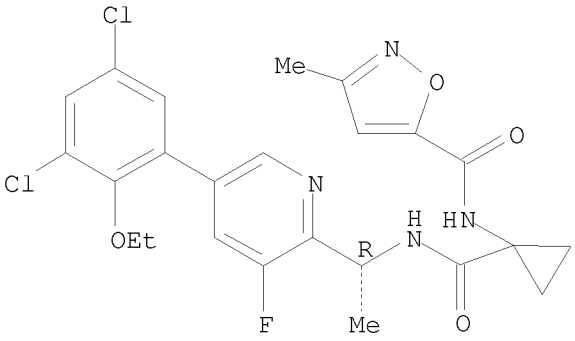
RN 864641-94-1 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[5-[3,5-dichloro-2-(2,2-
difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]-1-[[2-
(methylsulfonyl)acetyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



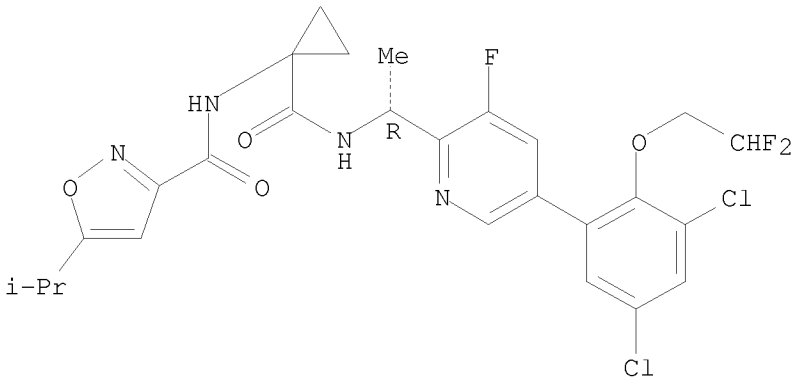
RN 864641-96-3 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 864641-98-5 CAPLUS
CN 3-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-5-(1-methylethyl)- (CA INDEX NAME)

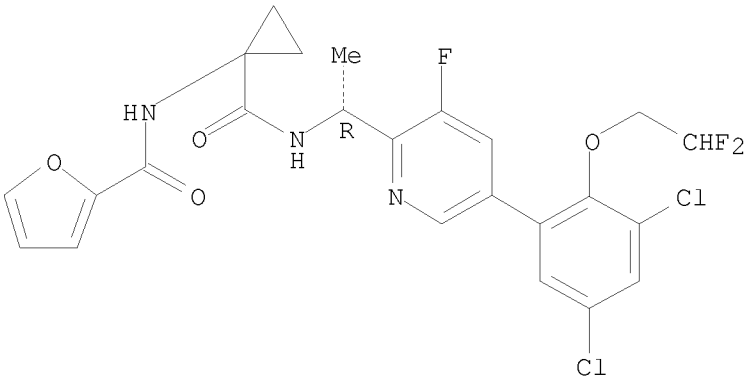
Absolute stereochemistry.



RN 864642-00-2 CAPLUS

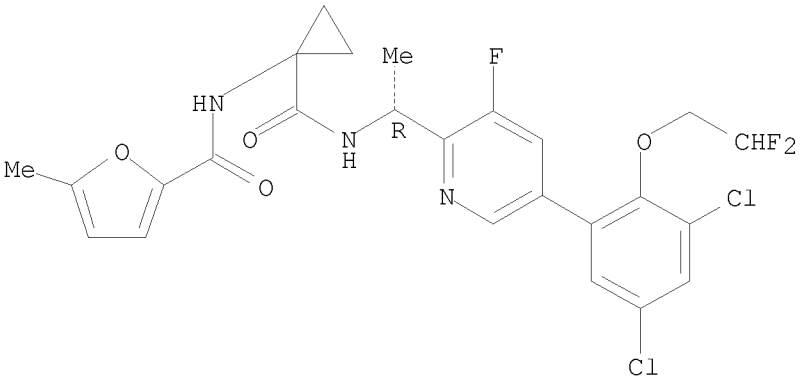
CN 2-Furancarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



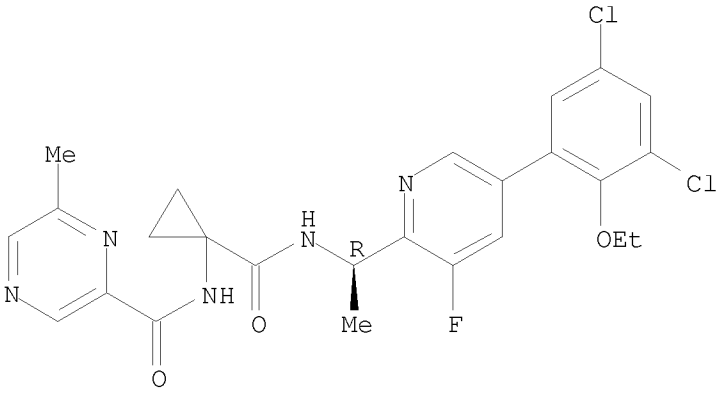
RN 864642-01-3 CAPLUS
CN 2-Furancarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



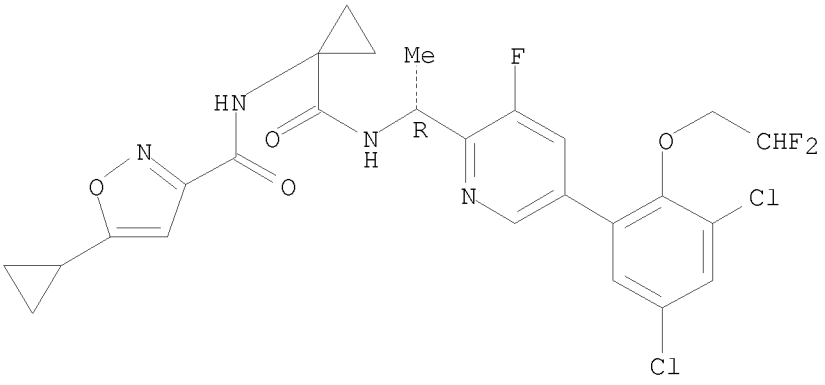
RN 864642-02-4 CAPLUS
CN 2-Pyrazinecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-6-methyl- (CA INDEX NAME)

Absolute stereochemistry.



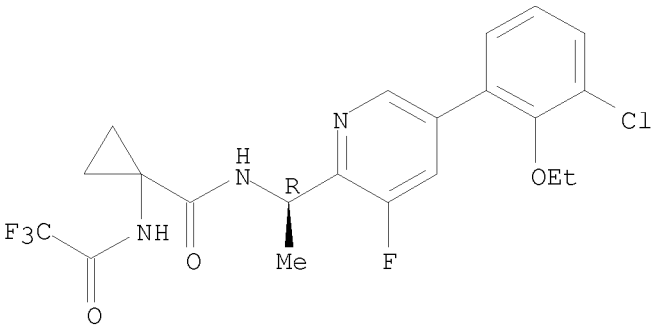
RN 864642-03-5 CAPLUS
CN 3-Isoxazolecarboxamide, 5-cyclopropyl-N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 864642-05-7 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[5-(3-chloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

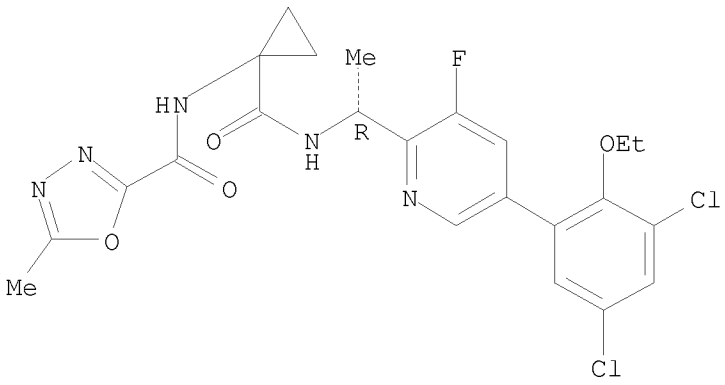
Absolute stereochemistry.



RN 864642-06-8 CAPLUS
CN 1,3,4-Oxadiazole-2-carboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-5-

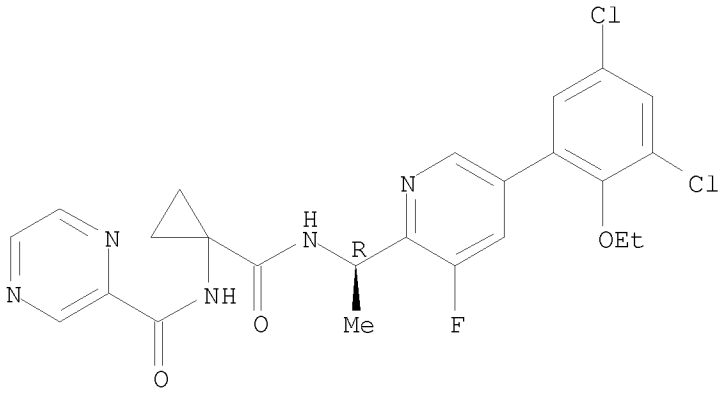
methyl- (CA INDEX NAME)

Absolute stereochemistry.



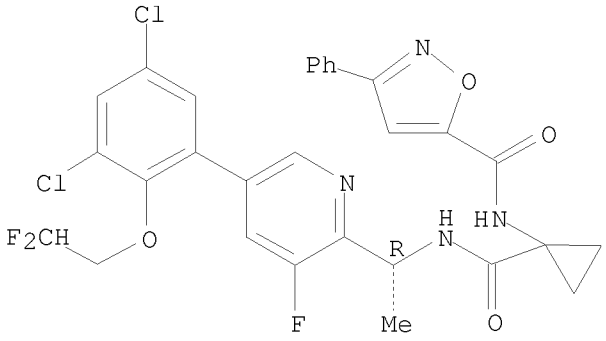
RN 864642-08-0 CAPLUS
CN 2-Pyrazinecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



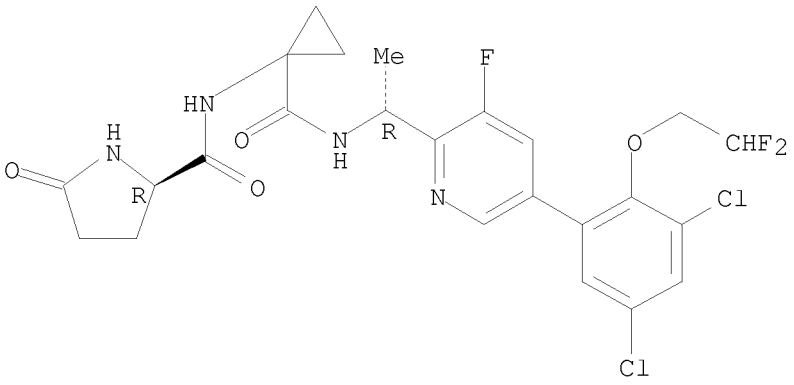
RN 864642-09-1 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-3-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



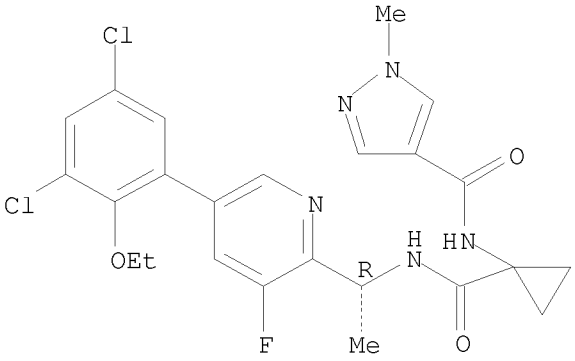
RN 864642-10-4 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-5-oxo-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



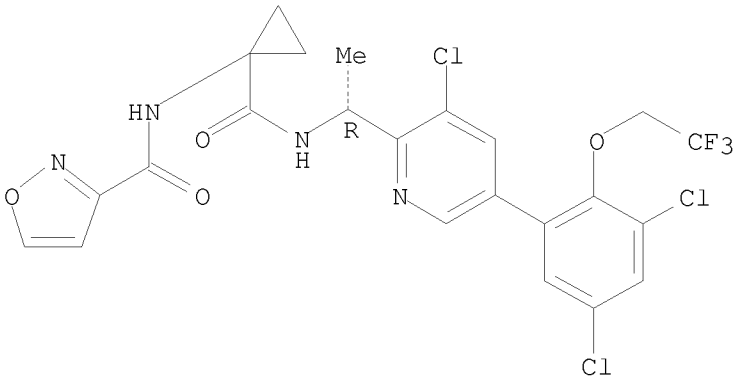
RN 864642-12-6 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-1-methyl- (CA INDEX NAME)

Absolute stereochemistry.



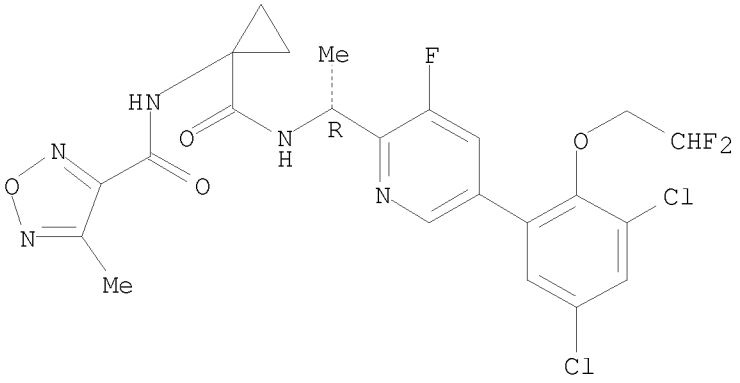
RN 864642-14-8 CAPLUS
CN 3-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-[3,5-dichloro-2-(2,2,2-trifluoroethoxy)phenyl]-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



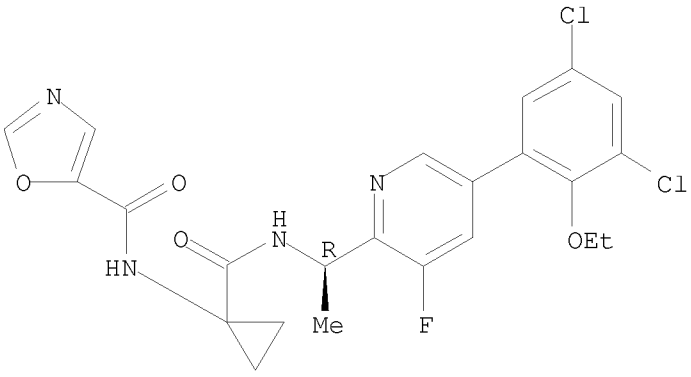
RN 864642-16-0 CAPLUS
CN 1,2,5-Oxadiazole-3-carboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-4-methyl- (CA INDEX NAME)

Absolute stereochemistry.



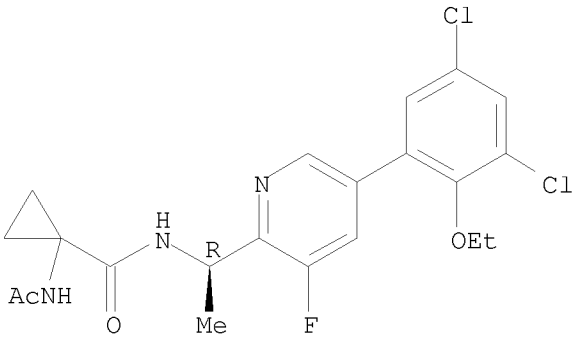
RN 864642-18-2 CAPLUS
CN 5-Oxazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



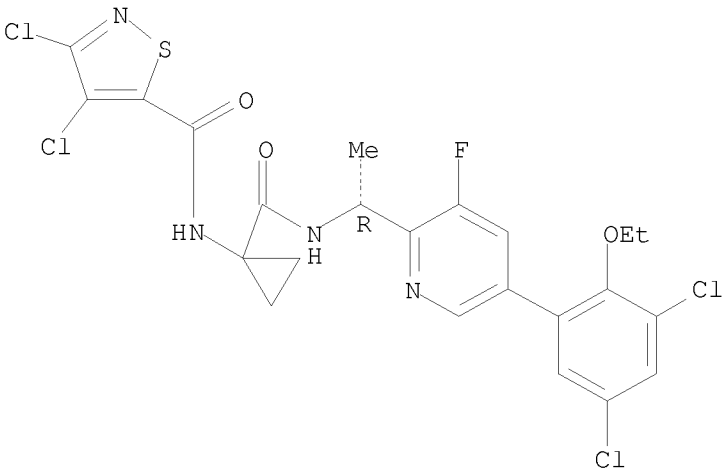
RN 864642-20-6 CAPLUS
CN Cyclopropanecarboxamide, 1-(acetylamino)-N-[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



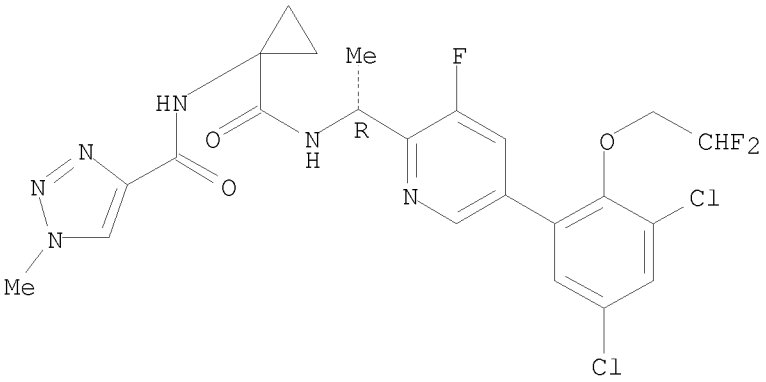
RN 864642-22-8 CAPLUS
CN 5-Isothiazolecarboxamide, 3,4-dichloro-N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



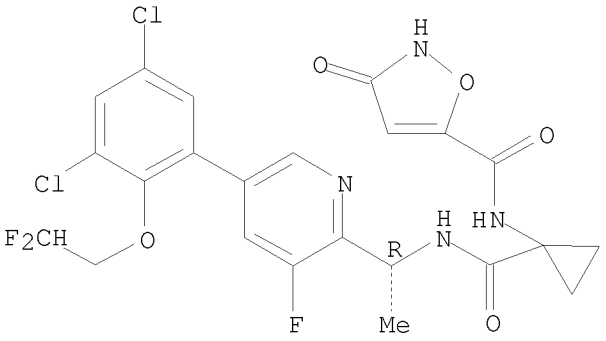
RN 864642-24-0 CAPLUS
CN 1H-1,2,3-Triazole-4-carboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-1-methyl- (CA INDEX NAME)

Absolute stereochemistry.



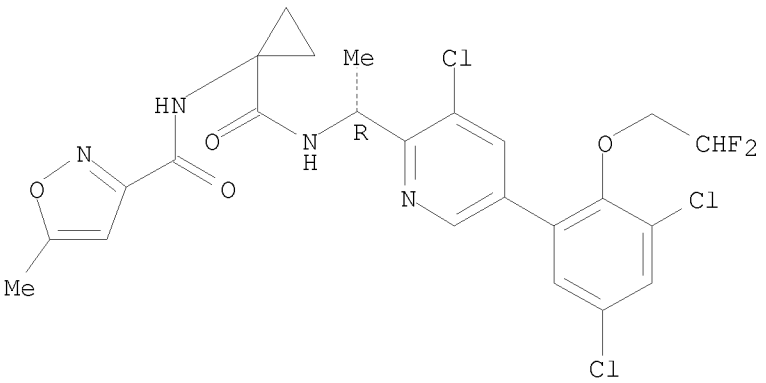
RN 864642-25-1 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-2,3-dihydro-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.



RN 864642-26-2 CAPLUS
CN 3-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-5-methyl- (CA INDEX NAME)

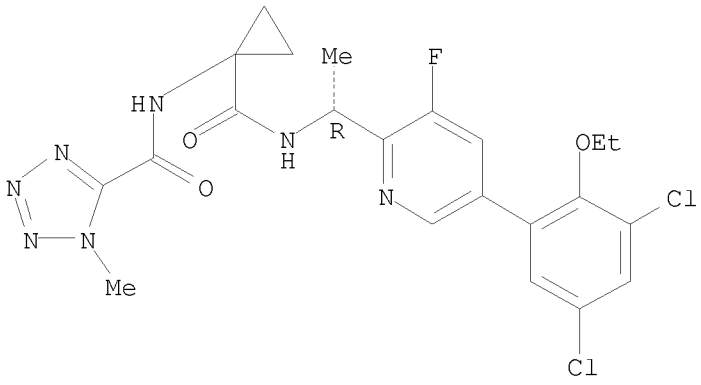
Absolute stereochemistry.



RN 864642-27-3 CAPLUS
CN 1H-Tetrazole-5-carboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-

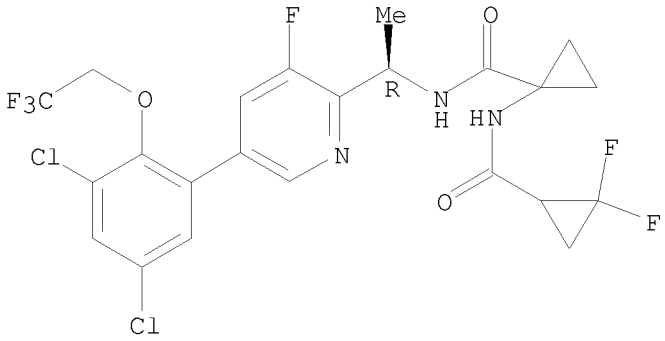
ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-1-methyl- (CA INDEX NAME)

Absolute stereochemistry.



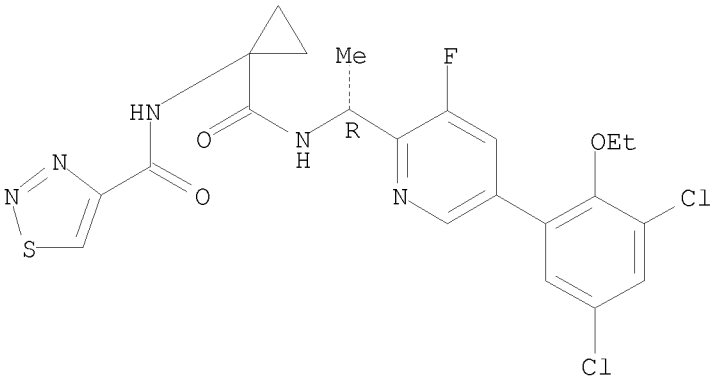
RN 864642-28-4 CAPLUS
CN Cyclopropanecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2,2-trifluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-2,2-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



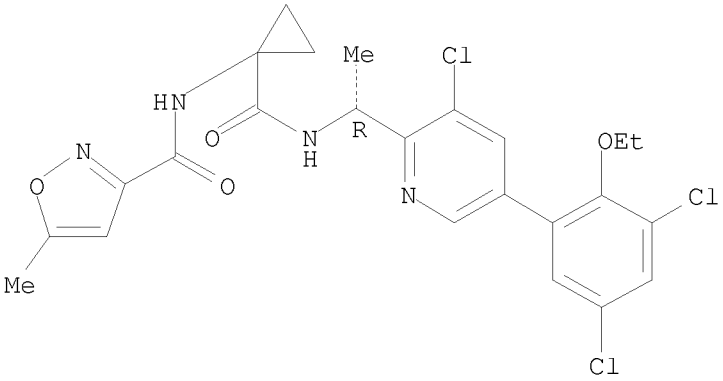
RN 864642-29-5 CAPLUS
CN 1,2,3-Thiadiazole-4-carboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



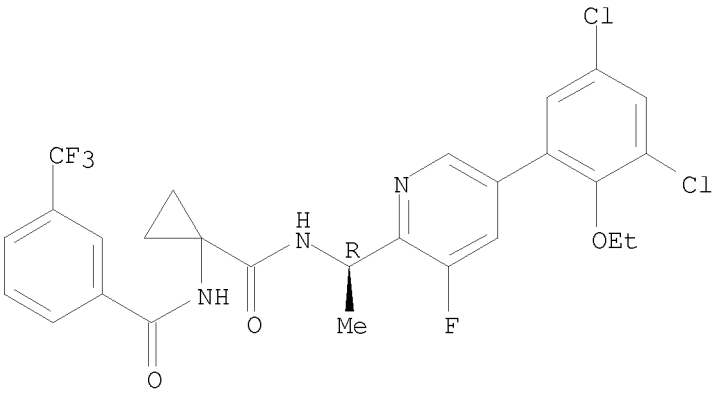
RN 864642-30-8 CAPLUS
CN 3-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-(3,5-dichloro-2-ethoxyphenyl)-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 864642-31-9 CAPLUS
CN Benzamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-3-(trifluoromethyl)- (CA INDEX NAME)

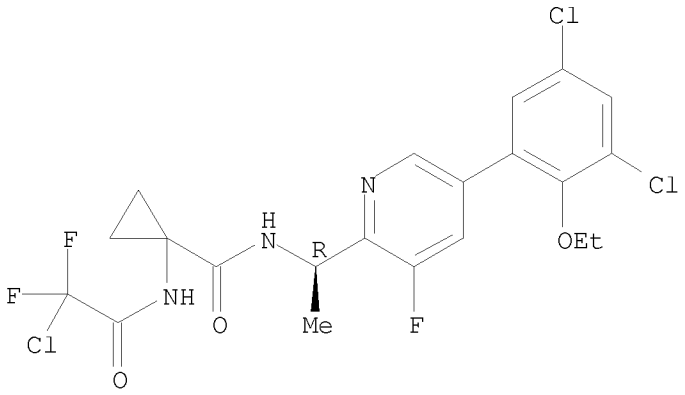
Absolute stereochemistry.



RN 864642-32-0 CAPLUS

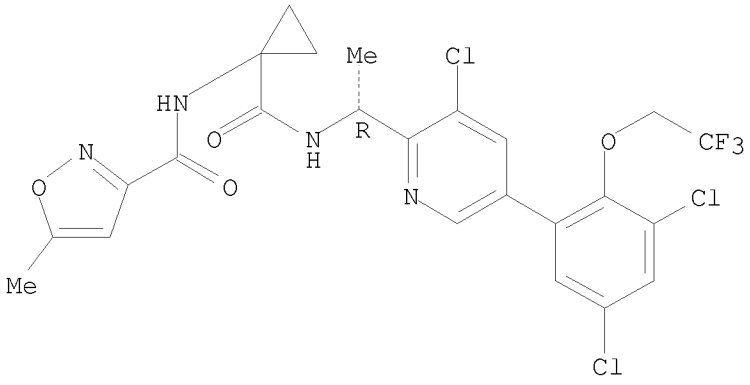
CN Cyclopropanecarboxamide, 1-[(2-chloro-2,2-difluoroacetyl)amino]-N-[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



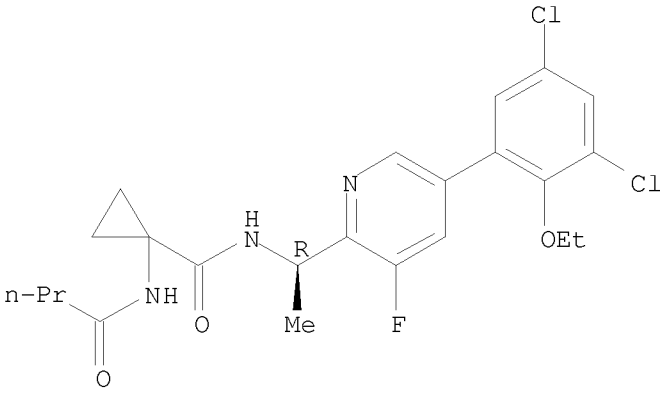
RN 864642-34-2 CAPLUS
CN 3-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-[3,5-dichloro-2-(2,2,2-trifluoroethoxy)phenyl]-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



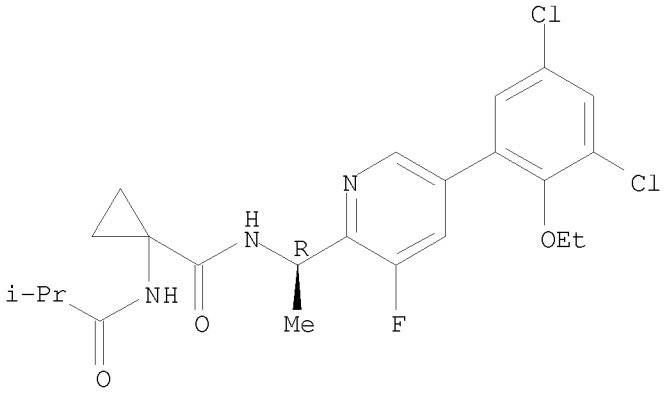
RN 864642-35-3 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]-1-[(1-oxobutyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



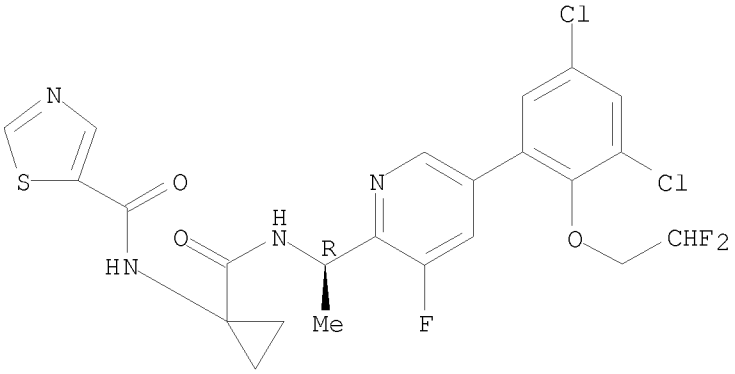
RN 864642-37-5 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]-1-[(2-methyl-1-oxopropyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



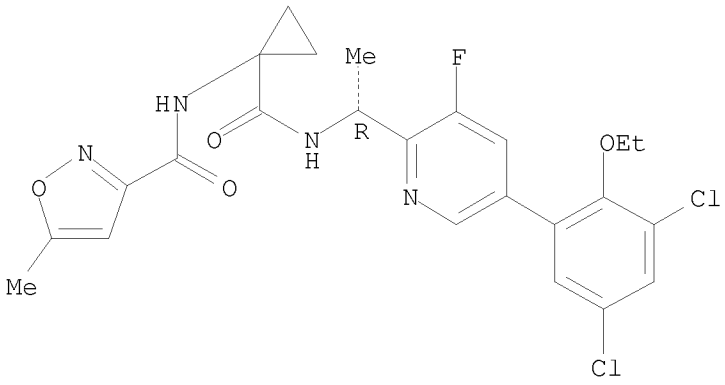
RN 864642-38-6 CAPLUS
CN 5-Thiazolecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



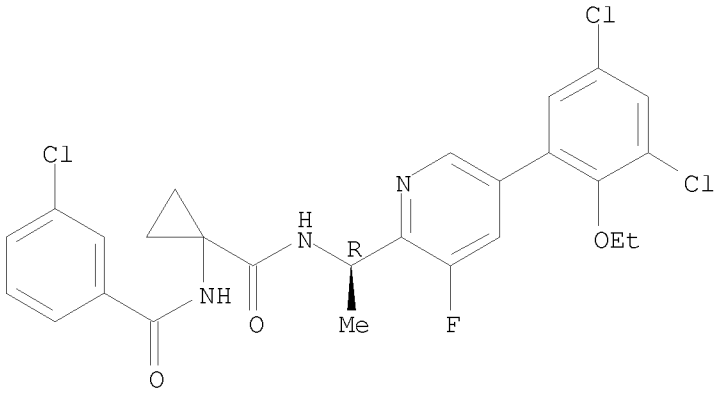
RN 864642-39-7 CAPLUS
CN 3-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



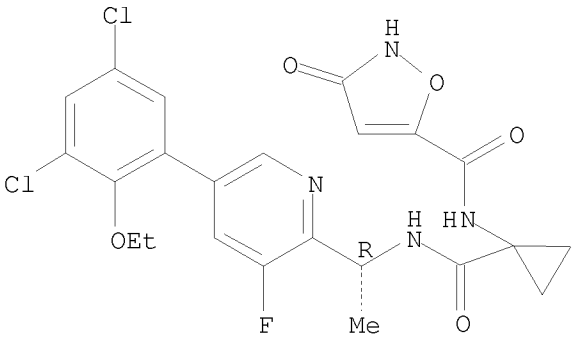
RN 864642-41-1 CAPLUS
CN Benzamide, 3-chloro-N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



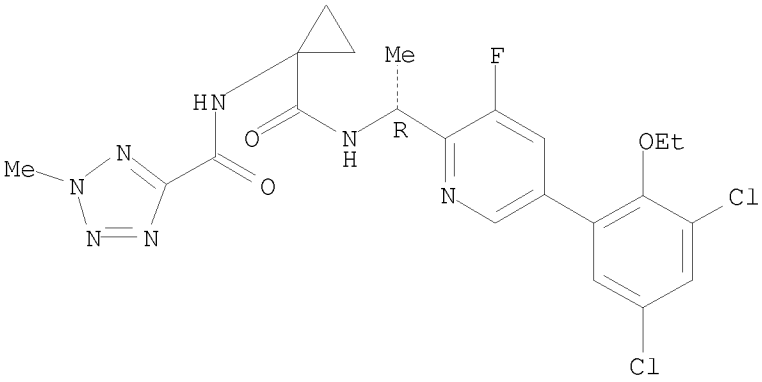
RN 864642-43-3 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-2,3-dihydro-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.



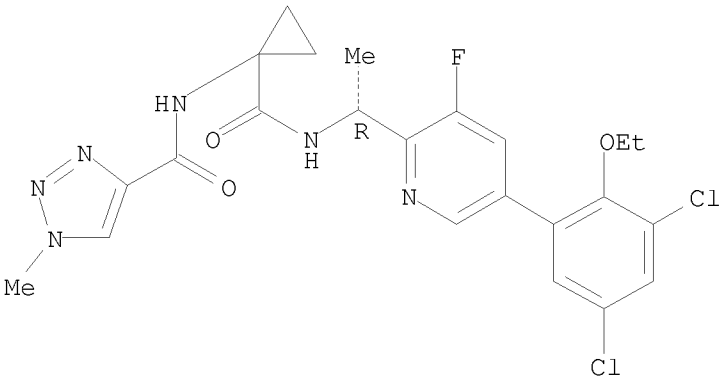
RN 864642-45-5 CAPLUS
CN 2H-Tetrazole-5-carboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 864642-47-7 CAPLUS
CN 1H-1,2,3-Triazole-4-carboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-1-methyl- (CA INDEX NAME)

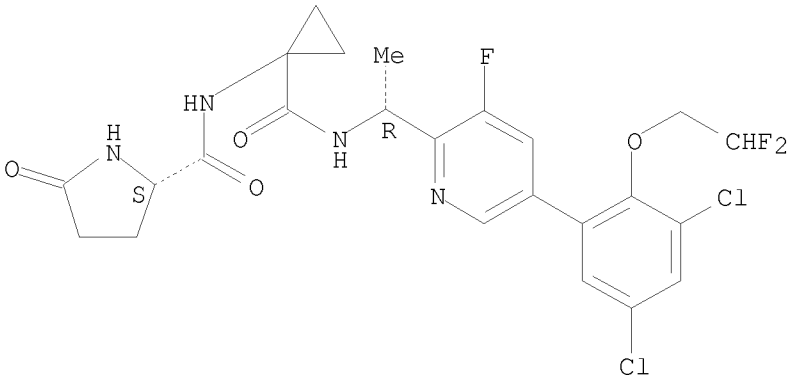
Absolute stereochemistry.



RN 864642-49-9 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-

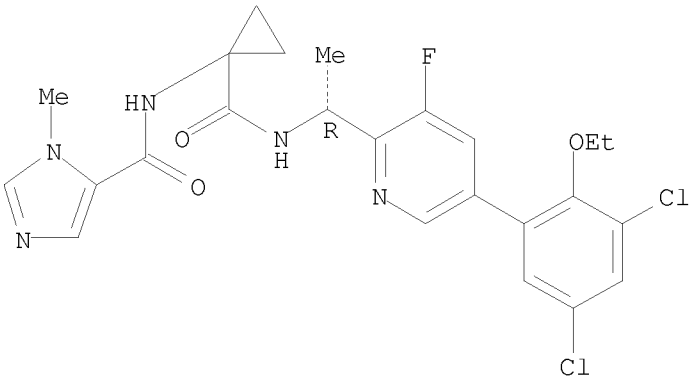
pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-5-oxo-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



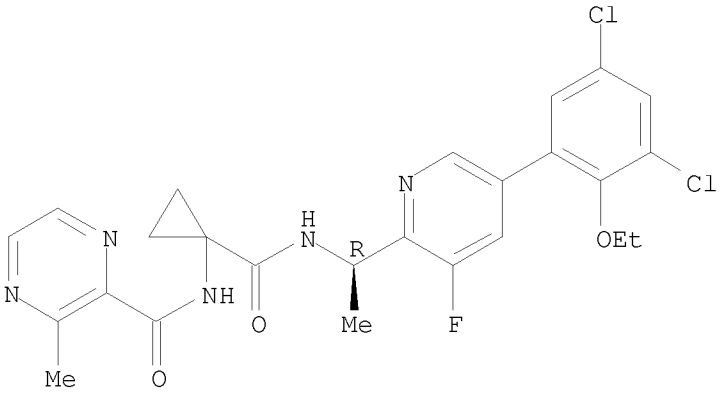
RN 864642-51-3 CAPLUS
CN 1H-Imidazole-5-carboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-1-methyl- (CA INDEX NAME)

Absolute stereochemistry.



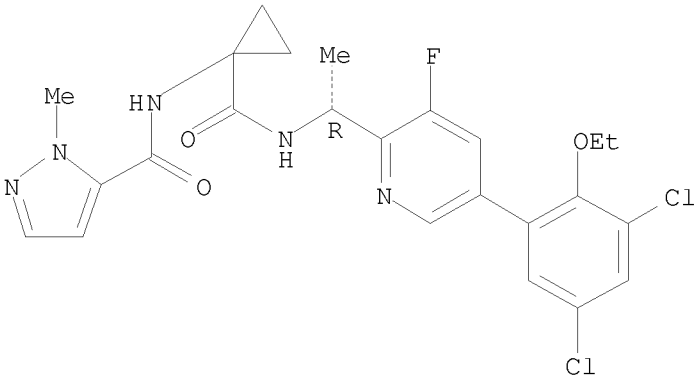
RN 864642-53-5 CAPLUS
CN 2-Pyrazinecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



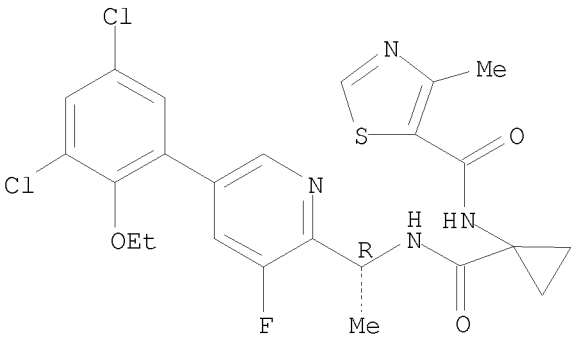
RN 864642-54-6 CAPLUS
CN 1H-Pyrazole-5-carboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-1-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 864642-55-7 CAPLUS
CN 5-Thiazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-4-methyl- (CA INDEX NAME)

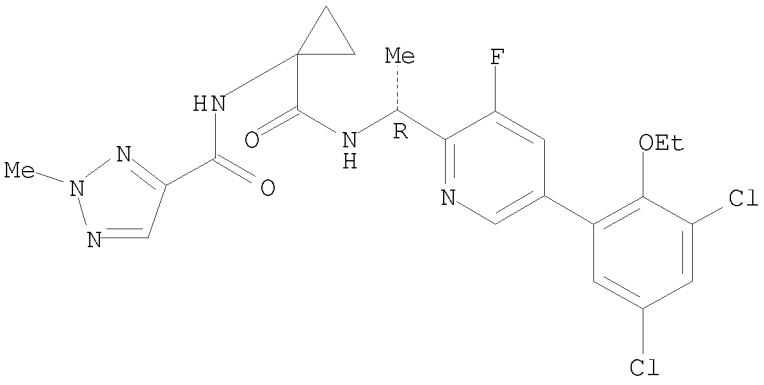
Absolute stereochemistry.



RN 864642-56-8 CAPLUS
CN 2H-1,2,3-Triazole-4-carboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-

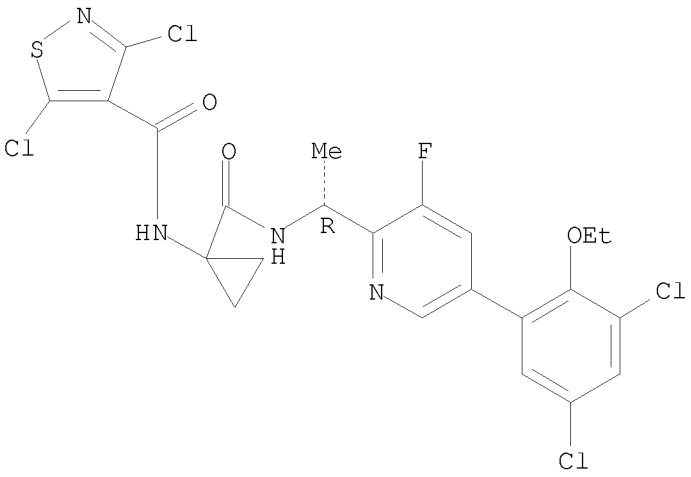
ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



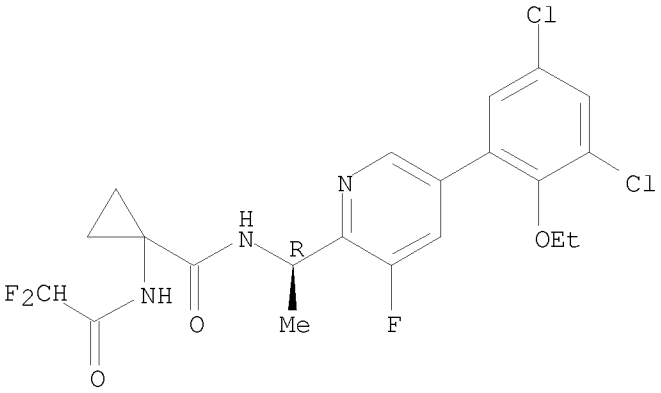
RN 864642-58-0 CAPLUS
CN 4-Isothiazolecarboxamide, 3,5-dichloro-N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



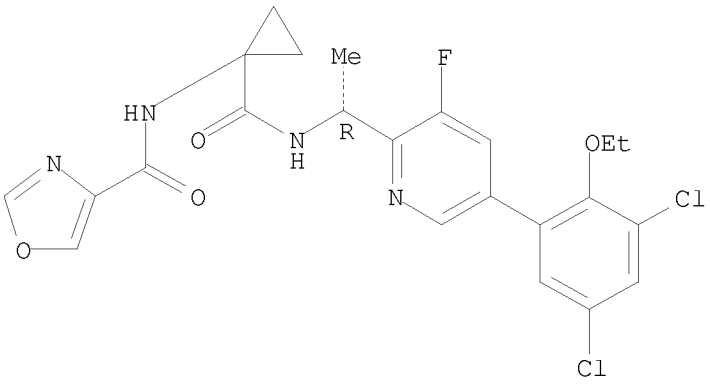
RN 864642-60-4 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]-1-[(2,2-difluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



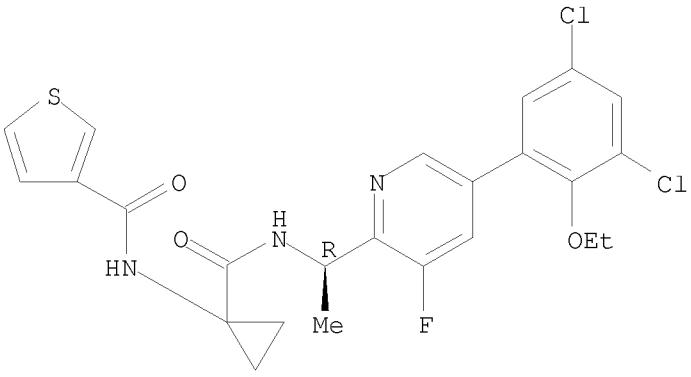
RN 864642-62-6 CAPLUS
CN 4-Oxazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



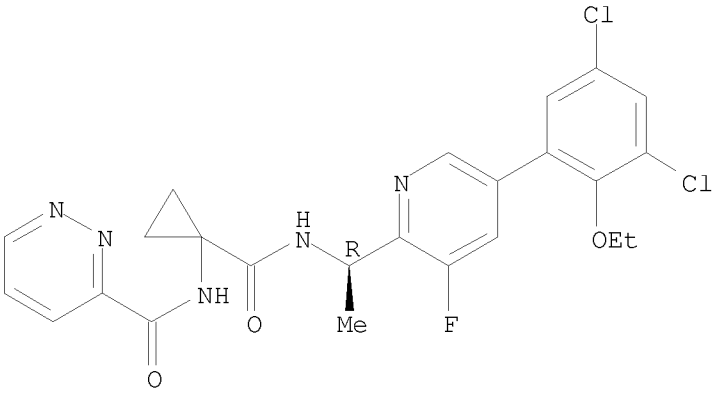
RN 864642-64-8 CAPLUS
CN 3-Thiophenecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



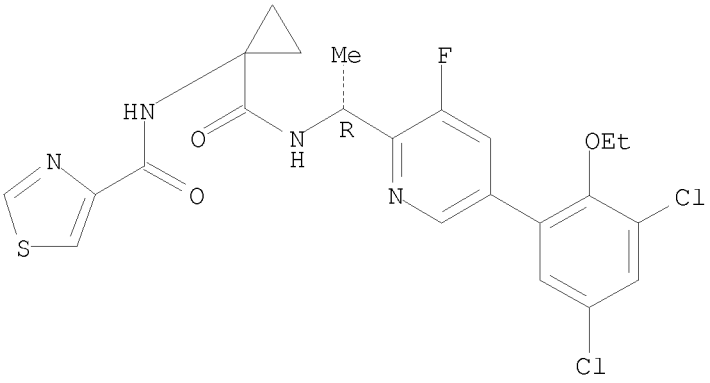
RN 864642-66-0 CAPLUS
CN 3-Pyridazinecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



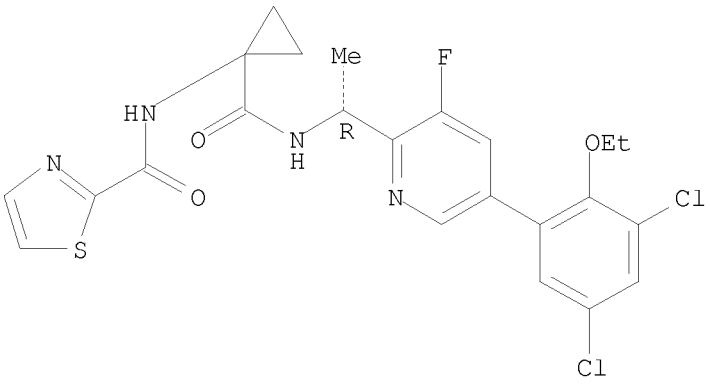
RN 864642-68-2 CAPLUS
CN 4-Thiazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 864642-70-6 CAPLUS
CN 2-Thiazolecarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

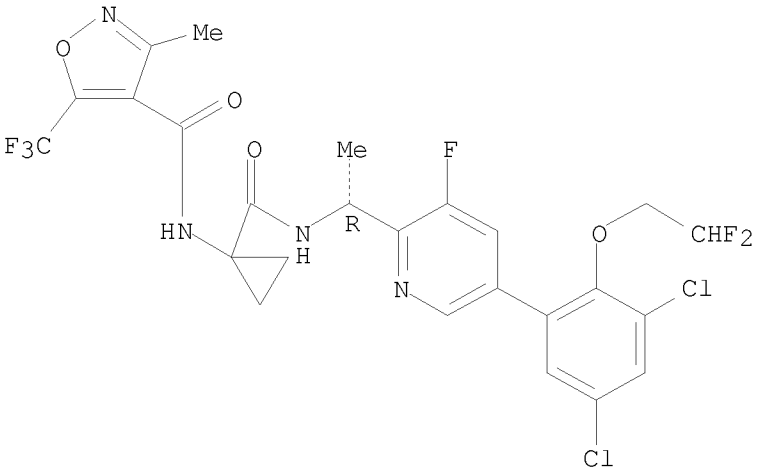
Absolute stereochemistry.



RN 864642-72-8 CAPLUS

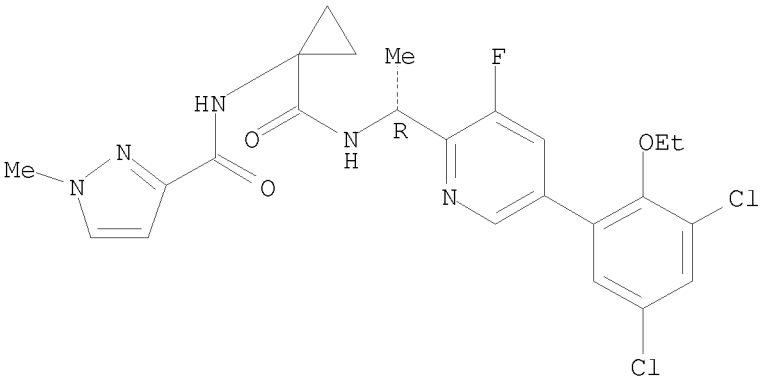
CN 4-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-3-methyl-5-(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.



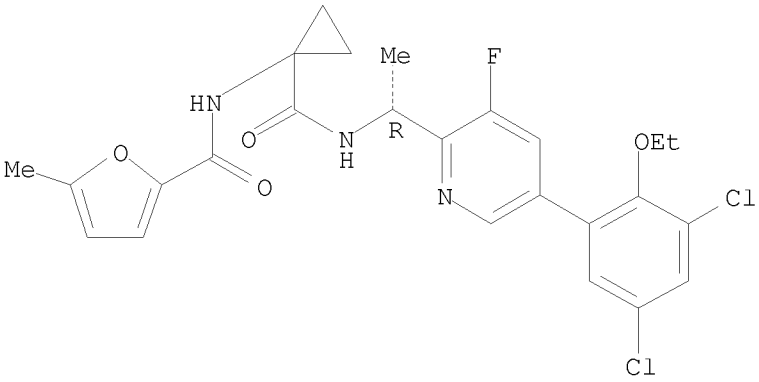
RN 864642-74-0 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-1-methyl- (CA INDEX NAME)

Absolute stereochemistry.



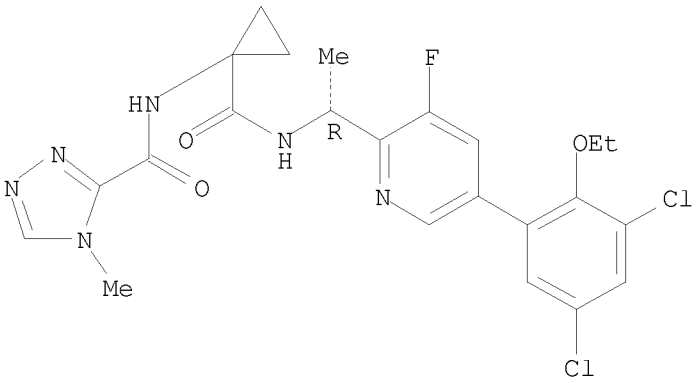
RN 864642-76-2 CAPLUS
CN 2-Furancarboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



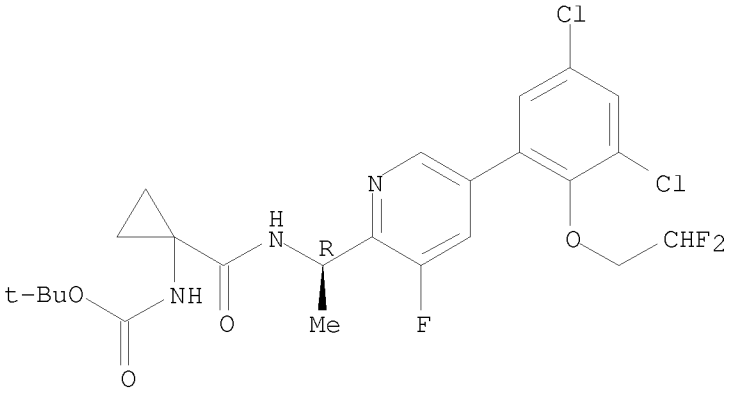
RN 864642-78-4 CAPLUS
CN 4H-1,2,4-Triazole-3-carboxamide, N-[1-[[[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-4-methyl- (CA INDEX NAME)

Absolute stereochemistry.



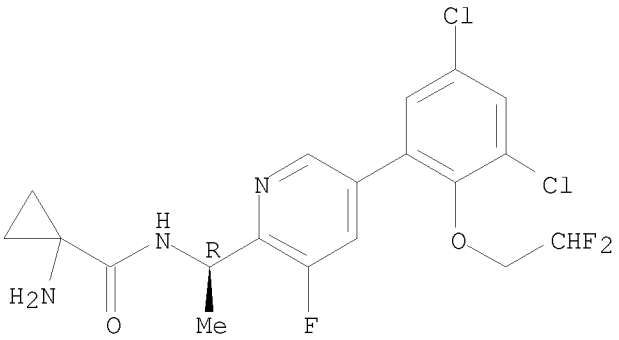
IT 864642-89-7P 864642-91-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminocyclopropanecarboxamide derivs. as bradykinin B1 antagonists)
RN 864642-89-7 CAPLUS
CN Carbamic acid, [1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 864642-91-1 CAPLUS
CN Cyclopropanecarboxamide, 1-amino-N-[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

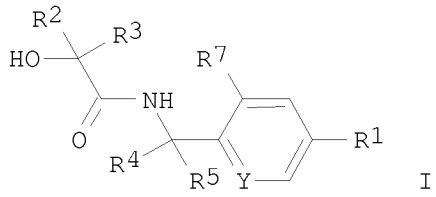
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:612238 CAPLUS
DOCUMENT NUMBER: 143:133188
TITLE: Preparation of α-hydroxy carboxamides, particularly N-biphenylmethyl and N-phenylpyridin-2-ylmethyl amides, as bradykinin B1 antagonists or inverse agonists useful in the treatment of pain and inflammation
INVENTOR(S): Wood, Michael R.; Anthony, Neville J.; Bock, Mark G.; Kuduk, Scott D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

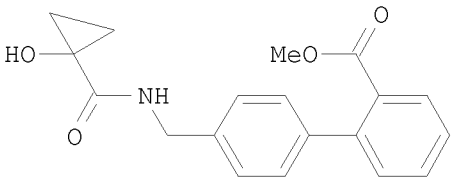
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2005063690	A1	20050714	WO 2004-US42691		20041217	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW						
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
AU 2004309357	A1	20050714	AU 2004-309357		20041217	<--
CA 2550372	A1	20050714	CA 2004-2550372		20041217	<--
EP 1706372	A1	20061004	EP 2004-814829		20041217	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS						
CN 1898198	A	20070117	CN 2004-80038255		20041217	<--
BR 2004017928	A	20070417	BR 2004-17928		20041217	<--
JP 2007520472	T	20070726	JP 2006-547200		20041217	<--
IN 2006DN03156	A	20070824	IN 2006-DN3156		20060602	<--
MX 2006PA07203	A	20060818	MX 2006-PA7203		20060621	<--
KR 2006115753	A	20061109	KR 2006-712382		20060621	<--
NO 2006003394	A	20060912	NO 2006-3394		20060721	<--
PRIORITY APPLN. INFO.:			US 2003-531643P	P	20031222	<--
			US 2004-539637P	P	20040128	<--
			US 2004-624958P	P	20041104	<--
			WO 2004-US42691	W	20041217	<--

OTHER SOURCE(S): CASREACT 143:133188; MARPAT 143:133188

GI



I



II

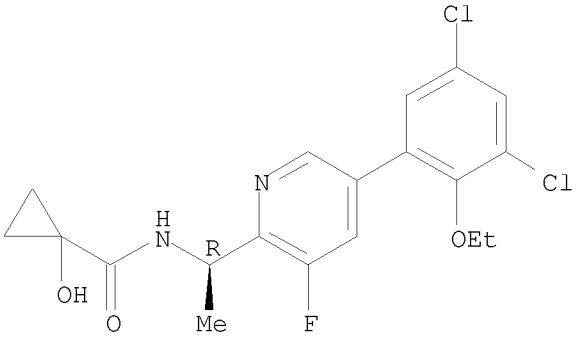
AB Title compds. [I; Y = CH, N; R1 = (un)substituted Ph, 2,2-dioxo-2,1-benzisothiazolin-1-yl; R2 = H, (un)substituted alkyl, Ph, etc.; R3 = defined as R2; or R3 = OH; or R2CR3 = (un)substituted 3-7-membered carbocyclyl; R4, R5 = independently H, halo/alkyl; R7 = H, halo] were prepared as bradykinin B1 antagonists or inverse agonists useful in the treatment of pain and inflammation associated with the bradykinin B1 pathway. For example, coupling of 1-hydroxycyclopropanecarboxylic acid with Me 4'-(aminomethyl)biphenyl-2-carboxylate gave amide II. I have

affinity for the B1 receptor in a radioligand assay as demonstrated by results of less than 5 μM [sic].

IT 858412-84-7P 858412-88-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-biphenylmethyl and N-phenylpyridin-2-ylmethyl α-hydroxycarboxamides as bradykinin B1 antagonists or inverse agonists useful in the treatment of pain and inflammation)

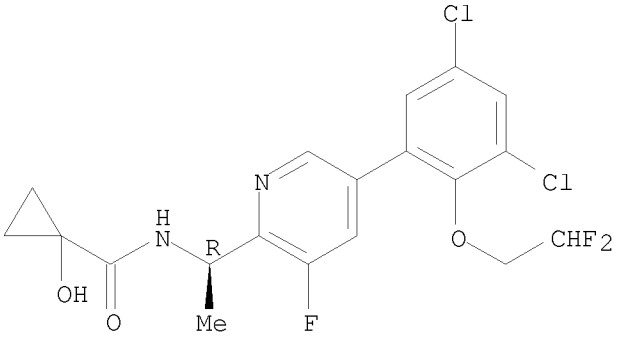
RN 858412-84-7 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[5-(3,5-dichloro-2-ethoxyphenyl)-3-fluoro-2-pyridinyl]ethyl]-1-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



RN 858412-88-1 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]-1-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



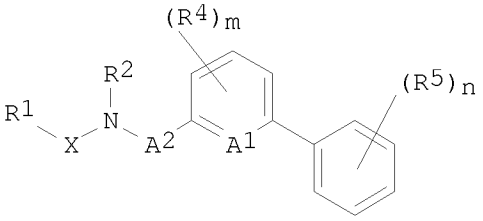
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:71170 CAPLUS
DOCUMENT NUMBER: 142:176693
TITLE: Preparation of phenylpyridine derivatives as herbicides
INVENTOR(S): Takizawa, Eiji; Kumata, Shuji; Kiyokawa, Takahiro
PATENT ASSIGNEE(S): Nihon Nohyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007627	A1	20050127	WO 2004-JP10560	20040716 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2005053902	A	20050303	JP 2004-211469	20040720 <--

PRIORITY APPLN. INFO.: JP 2003-277112 A 20030718 <--
OTHER SOURCE(S): MARPAT 142:176693
GI



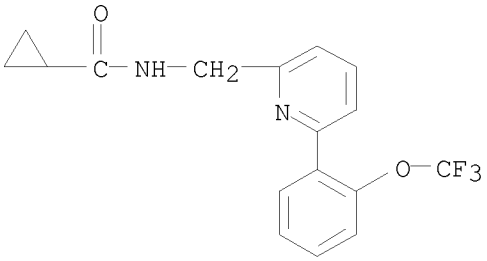
I

AB The title compds. I [A1 represents N:(O)p; A2 represents (CR3R3)i; R1 represents hydrogen, etc., and R2 represents hydrogen, etc., provided that R1 and R2 in combination can form a 4- to 8-membered ring containing X, carbon, nitrogen, oxygen, or sulfur; R3's may be the same or different and each represents hydrogen, etc., provided that two R3's in combination can form a 3- to 6-membered ring containing carbon, nitrogen, oxygen, or sulfur; R4's may be the same or different and each represents halogeno, etc.; R5's may be the same or different and each represents halogeno, etc., provided that two adjacent R5's can be bonded to each other to form OCF2O, etc.; X represents CO, CS, or SOq (wherein q is 1 or 2); i is an integer of 0 to 2; m is an integer of 0 to 3; n is an integer of 1 to 5; and p is 0 or 1] are prepared Thus, N-[6-(3-trifluoromethoxyphenyl)-2-pyridyl]methylcyclopropanecarboxamide was prepared by reaction of 6-(3-trifluoromethoxyphenyl)-2-pyridylmethylamine with cyclopropanecarbonyl chloride in toluene containing triethylamine. 59 Compds. of this invention at 1000 g/ha gave ≥ 90% control of Lindernia pyxidaria.

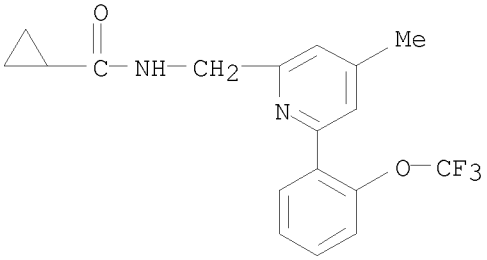
IT 833456-18-1P 833456-86-3P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylpyridine derivs. as herbicides)

RN 833456-18-1 CAPLUS

CN Cyclopropanecarboxamide, N-[[6-[2-(trifluoromethoxy)phenyl]-2-pyridinyl]methyl]- (CA INDEX NAME)



RN 833456-86-3 CAPLUS
CN Cyclopropanecarboxamide, N-[[4-methyl-6-[2-(trifluoromethoxy)phenyl]-2-pyridinyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 203 THERE ARE 203 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:203618 CAPLUS
DOCUMENT NUMBER: 140:253570
TITLE: Preparation of N-biarylmethylaminocycloalkanecarboxamide as bradykinin B1 antagonists
INVENTOR(S): Kuduk, Scott D.; Wood, Michael R.; Bock, Mark G.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004019868	A2	20040311	WO 2003-US26628	20030825 <--
WO 2004019868	A3	20040429		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2495914	A1	20040311	CA 2003-2495914		20030825	<--
AU 2003265674	A1	20040319	AU 2003-265674		20030825	<--
BR 2003013239	A	20050614	BR 2003-13239		20030825	<--
EP 1545538	A2	20050629	EP 2003-791763		20030825	<--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

CN 1678320	A	20051005	CN 2003-820293		20030825	<--
JP 2005537323	T	20051208	JP 2004-532994		20030825	<--
US 20050288305	A1	20051229	US 2005-523911		20050208	<--
US 7163951	B2	20070116				
IN 2005CN00256	A	20070907	IN 2005-CN256		20050224	<--
MX 2005PA02245	A	20050608	MX 2005-PA2245		20050225	<--
NO 2005001539	A	20050525	NO 2005-1539		20050323	<--
PRIORITY APPLN. INFO.:			US 2002-406742P	P	20020829	<--
			WO 2003-US26628	W	20030825	<--

OTHER SOURCE(S): MARPAT 140:253570
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Het = pyridyl, pyrimidinyl, N-oxide thereof; R1-2 = H, alkyl; R3a-3b = H, alkyl; R4a-4b = H, halo, alkyl, etc.; R5 = alkyl, cycloalkyl, alkynyl, alkenyl, etc.; R6a = alkyl, cycloalkyl, alkenyl, etc.; R6b-6c = H and not more than one of R6a-6c = heterocycle; R7a-7b = H, halo, CN, etc.; m = 0-3] are prepared For instance, 1-[((pyrimidin-5-yl)carbonyl)amino]cyclobutanecarboxylic acid (preparation given) is coupled to Me 2-[2-(aminomethyl)pyrimidin-5-yl]-6-fluorobenzoate (preparation given; DMF, HOBt, EDCI, Et3N) to give II. Compds. of the invention have affinity for the bradykinin B1 receptor at less than 5 μM. I are useful for the treatment of pain and inflammation.

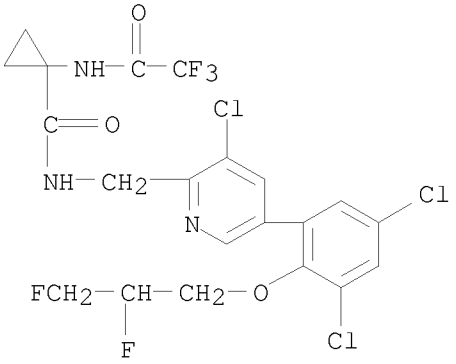
IT 669066-85-7P, 1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[[5-[2-[2-fluoro-2-(fluoromethyl)ethoxy]-3-(chloro)-5-(chloro)phenyl]-3-chloropyridin-2-yl]methyl]amino]carbonyl]cyclopropane 669066-86-8P, 1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[[5-[2-[2-fluoro-2-(fluoromethyl)ethoxy]-3-(chloro)-5-(chloro)phenyl]-3-pyridin-2-yl]methyl]amino]carbonyl]cyclopropane 669066-87-9P, 1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[[5-[2-[(carbomethoxy)oxy]-3-(fluoro)phenyl]-3-fluoropyridin-2-yl]methyl]amino]carbonyl]cyclopropane 669067-11-2P, (R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-[2-(difluoromethoxy)-3-(fluoro)phenyl]-3-fluoropyridin-2-yl]ethyl]amino]carbonyl]cyclopropane 669067-15-6P, (R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-[2-(2,2,2-trifluoroethoxy)-3-(fluoro)phenyl]-3-fluoropyridin-2-yl]ethyl]amino]carbonyl]cyclopropane 669067-17-8P 669067-18-9P 669067-19-0P, (R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-[2-(2,2-difluoroethoxy)-3-(fluoro)phenyl]-3-fluoropyridin-2-yl]ethyl]amino]carbonyl]cyclopropane 669067-39-4P, (R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-[2-(ethoxy)-3-(fluoro)-5-(chloro)phenyl]-3-fluoropyridin-2-yl]ethyl]amino]carbonyl]cyclopropane 669067-40-7P, (R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-[2-(2-fluoroethoxy)-3-(fluoro)-5-(chloro)phenyl]-3-fluoropyridin-2-yl]ethyl]amino]carbonyl]cyclopropane 669067-42-9P, (R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-[2-(ethoxy)-3-(fluoro)-5-(chloro)phenyl]-3-chloropyridin-2-yl]ethyl]amino]carbonyl]cyclopropane 669067-43-0P 669067-44-1P, (R)-1-[[(1,2,5-Thiadiazol-3-yl)carbonyl]amino]-1-[[[1-[5-[2-(ethoxy)-3-

(fluoro)-5-(chloro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-46-3P,
(R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-[2-(2,2,2-
trifluoroethoxy)-3-(fluoro)-5-(chloro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-53-2P,
(R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-[2-(2,2-difluoroethoxy)-
3-(chloro)-5-(fluoro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-54-3P,
(R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-[2-(ethoxy)-3-(chloro)-
5-(fluoro)phenyl]-3-chloropyridin-2-yl]ethyl]amino]carbonyl]cyclopropane
669067-56-5P, (R)-1-[[(Isoxazol-5-yl)carbonyl]amino]-1-[[[1-[5-[2-
(2,2,2-trifluoroethoxy)-3-(fluoro)-5-(chloro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-57-6P
669067-58-7P, (R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-
[2-(ethoxy)-3-(fluoro)-5-(fluoro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-59-8P,
(R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-[2-(2,2-difluoroethoxy)-
3-(fluoro)-5-(fluoro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-60-1P,
(R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-[2-(2,2,2-
trifluoroethoxy)-3-(chloro)-5-(fluoro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-62-3P,
(R)-1-[[(Isoxazol-5-yl)carbonyl]amino]-1-[[[1-[5-[2-(2,2-difluoroethoxy)-3-
(fluoro)-5-(chloro)phenyl]pyridin-2-yl]ethyl]amino]carbonyl]cyclopropane
669067-64-5P, (R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-
[2-(ethoxy)-3-(chloro)-5-(chloro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-65-6P,
(R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-[2-(2,2-difluoroethoxy)-
3-(chloro)-5-(chloro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-66-7P,
(R)-1-[[(Isoxazol-5-yl)carbonyl]amino]-1-[[[1-[5-[2-(2,2-difluoroethoxy)-3-
(chloro)-5-(fluoro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-67-8P,
(R)-1-[[(Isoxazol-5-yl)carbonyl]amino]-1-[[[1-[5-[2-(ethoxy)-3-(chloro)-5-
(fluoro)phenyl]-3-chloropyridin-2-yl]ethyl]amino]carbonyl]cyclopropane
669067-68-9P, (R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-
[2-(2,2,2-trifluoroethoxy)-3-(chloro)-5-(chloro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-70-3P,
(R)-1-[[(Isoxazol-5-yl)carbonyl]amino]-1-[[[1-[5-[2-(2,2,2-
trifluoroethoxy)-3-(chloro)-5-(fluoro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-71-4P,
(R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-[2-(2,2-difluoroethoxy)-
3-(fluoro)-5-(chloro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-72-5P,
(R)-1-[[(Trifluoromethyl)carbonyl]amino]-1-[[[1-[5-[2-[(cyclopropyl)oxy]-3-
(fluoro)-5-(fluoro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-73-6P,
(R)-1-[[(Isoxazol-3-yl)carbonyl]amino]-1-[[[1-[5-[2-(2,2-difluoroethoxy)-3-
(fluoro)-5-(chloro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-75-8P,
(R)-1-[[(Isoxazol-5-yl)carbonyl]amino]-1-[[[1-[5-[2-(ethoxy)-3-(chloro)-5-
(chloro)phenyl]-3-chloropyridin-2-yl]ethyl]amino]carbonyl]cyclopropane
669067-76-9P, (R)-1-[[(Isoxazol-5-yl)carbonyl]amino]-1-[[[1-[5-[2-
(2,2-difluoroethoxy)-3-(chloro)-5-(chloro)phenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane 669067-77-0P,
(R)-1-[[(Isoxazol-5-yl)carbonyl]amino]-1-[[[1-[5-[2-(2,2,2-
trifluoroethoxy)-3-(chloro)-5-chlorophenyl]-3-chloropyridin-2-
yl]ethyl]amino]carbonyl]cyclopropane
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of N-biarylmethylaminocycloalkanecarboxamide as bradykinin B1

antagonists)

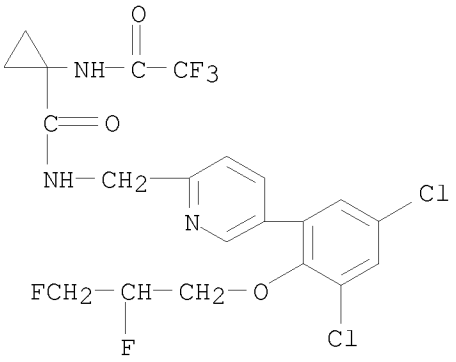
RN 669066-85-7 CAPLUS

CN Cyclopropanecarboxamide, N-[[3-chloro-5-[3,5-dichloro-2-(2,3-difluoropropoxy)phenyl]-2-pyridinyl]methyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)



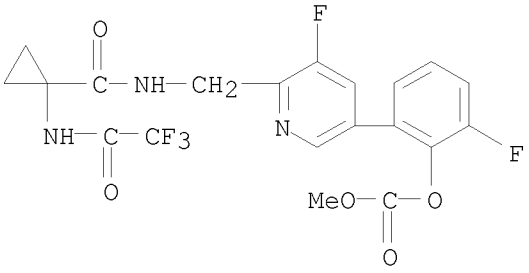
RN 669066-86-8 CAPLUS

CN Cyclopropanecarboxamide, N-[[5-[3,5-dichloro-2-(2,3-difluoropropoxy)phenyl]-2-pyridinyl]methyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)



RN 669066-87-9 CAPLUS

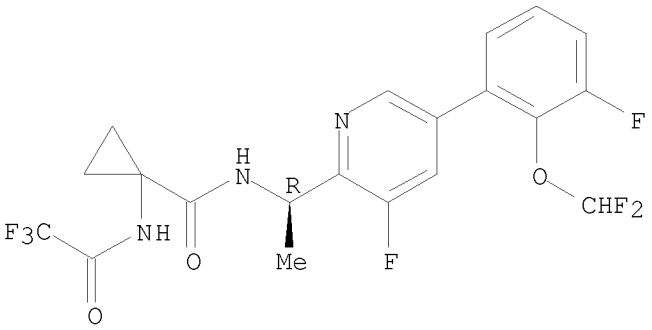
CN Carbonic acid, 2-fluoro-6-[5-fluoro-6-[[[[1-[(trifluoroacetyl)amino]cyclopropyl]carbonyl]amino]methyl]-3-pyridinyl]phenyl methyl ester (9CI) (CA INDEX NAME)



RN 669067-11-2 CAPLUS

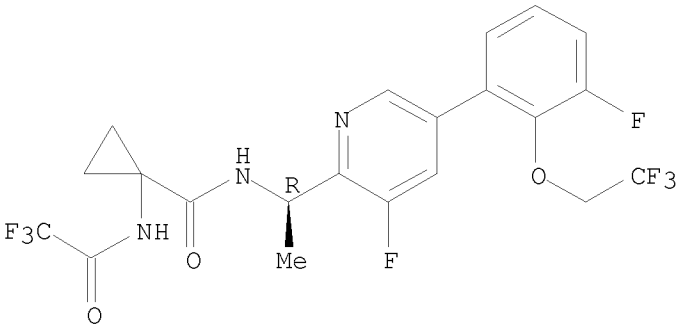
CN Cyclopropanecarboxamide, N-[(1R)-1-[5-[2-(difluoromethoxy)-3-fluorophenyl]-3-fluoro-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



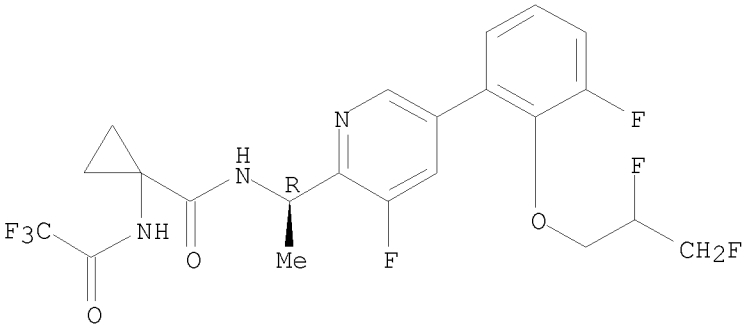
RN 669067-15-6 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[3-fluoro-5-[3-fluoro-2-(2,2,2-trifluoroethoxy)phenyl]-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



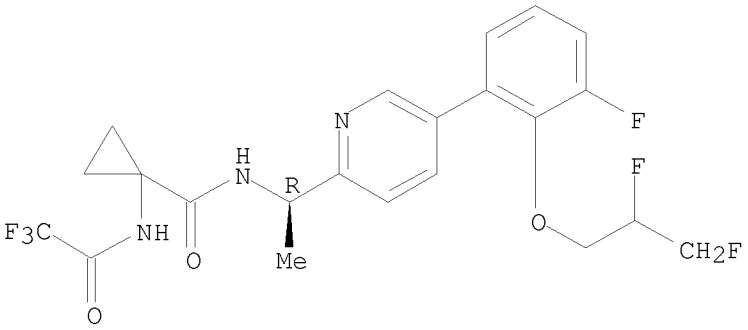
RN 669067-17-8 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[5-[2-(2,3-difluoropropoxy)-3-fluorophenyl]-3-fluoro-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



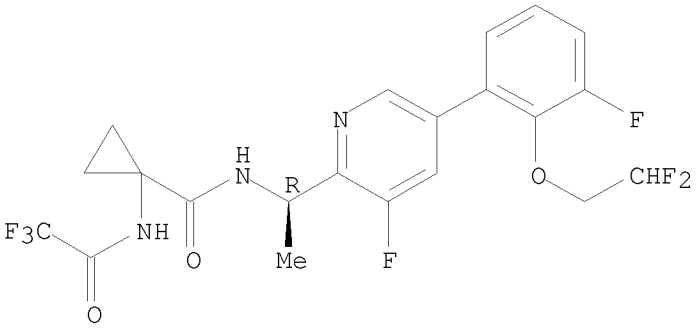
RN 669067-18-9 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[5-[2-(2,3-difluoropropoxy)-3-fluorophenyl]-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



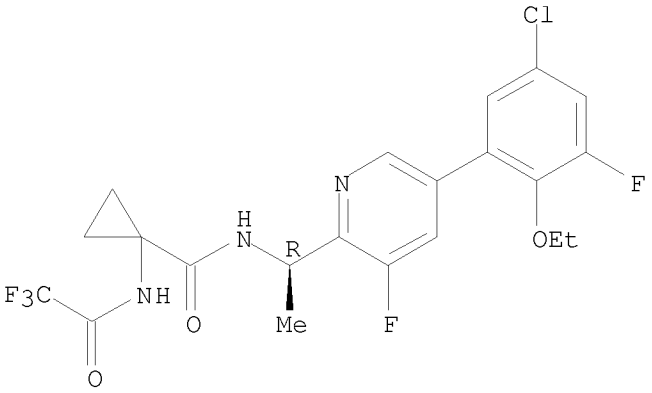
RN 669067-19-0 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[5-[2-(2,2-difluoroethoxy)-3-fluorophenyl]-3-fluoro-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



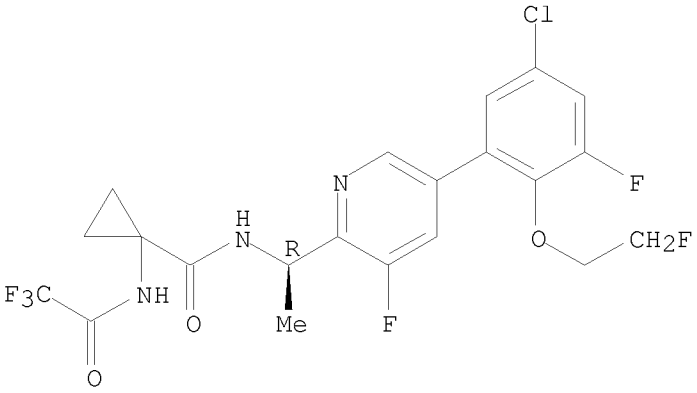
RN 669067-39-4 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[5-(5-chloro-2-ethoxy-3-fluorophenyl)-3-fluoro-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



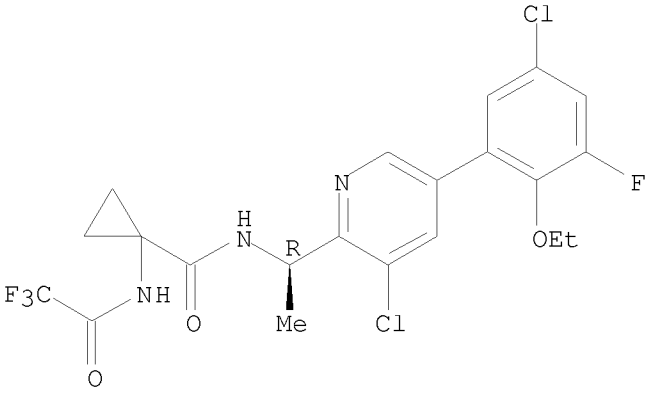
RN 669067-40-7 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[5-[5-chloro-3-fluoro-2-(2-fluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



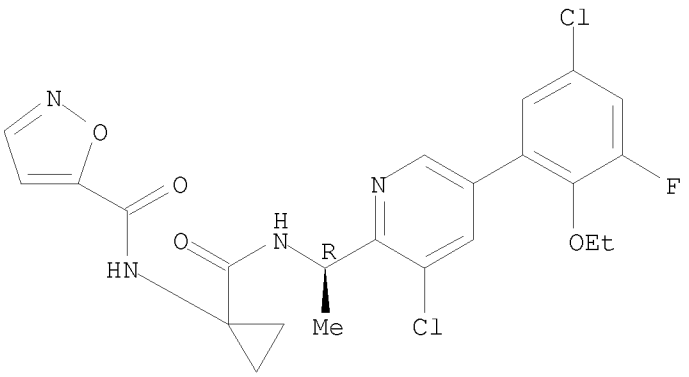
RN 669067-42-9 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[3-chloro-5-(5-chloro-2-ethoxy-3-fluorophenyl)-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



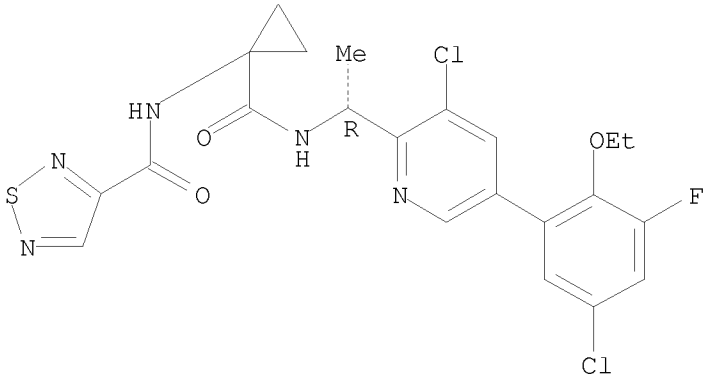
RN 669067-43-0 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-(5-chloro-2-ethoxy-3-fluorophenyl)-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



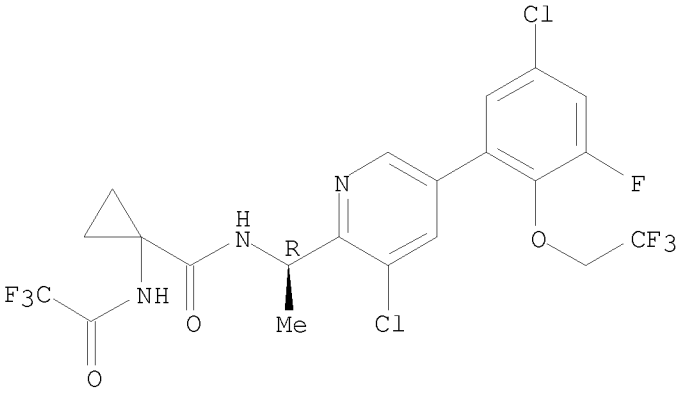
RN 669067-44-1 CAPLUS
CN 1,2,5-Thiadiazole-3-carboxamide, N-[1-[[[(1R)-1-[3-chloro-5-(5-chloro-2-ethoxy-3-fluorophenyl)-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



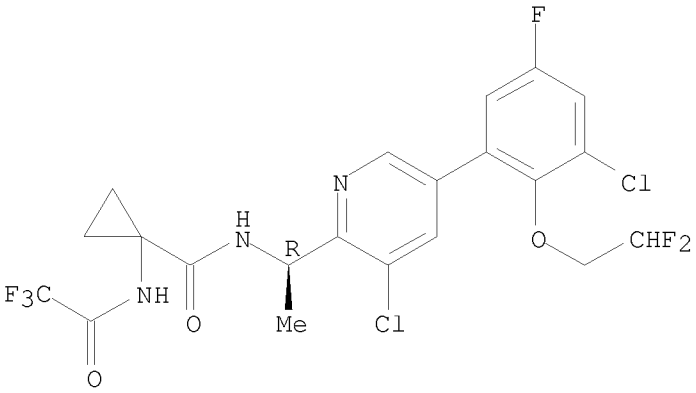
RN 669067-46-3 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[3-chloro-5-[5-chloro-3-fluoro-2-(2,2,2-trifluoroethoxy)phenyl]-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



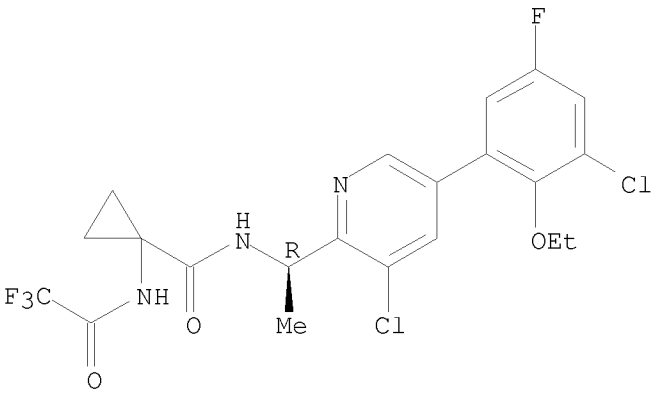
RN 669067-53-2 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[3-chloro-5-[3-chloro-2-(2,2-difluoroethoxy)-5-fluorophenyl]-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



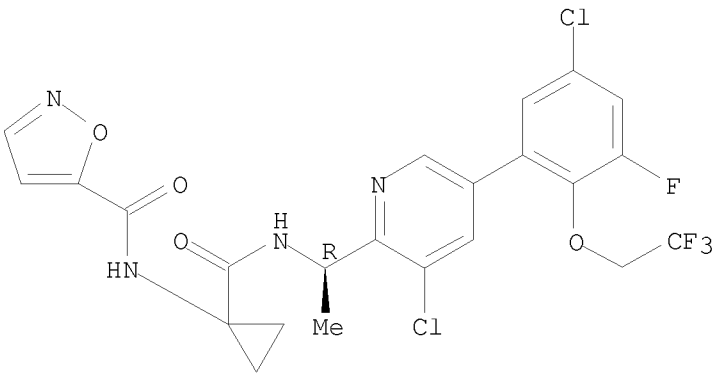
RN 669067-54-3 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[3-chloro-5-(3-chloro-2-ethoxy-5-fluorophenyl)-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



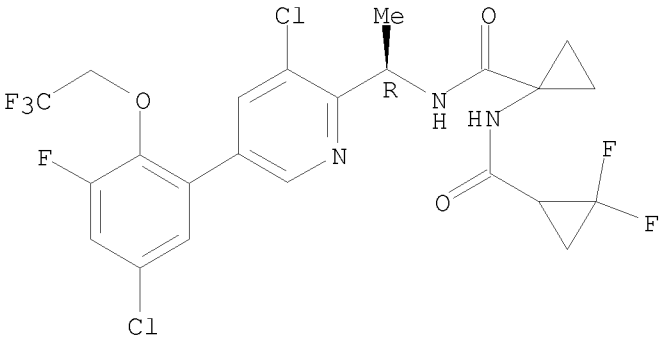
RN 669067-56-5 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-[5-chloro-3-fluoro-2-(2,2,2-trifluoroethoxy)phenyl]-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



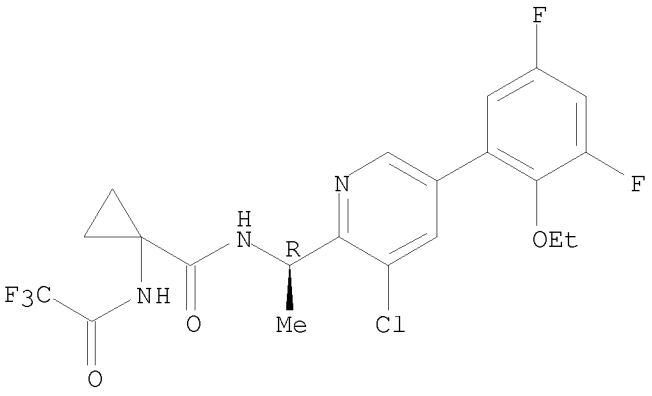
RN 669067-57-6 CAPLUS
CN Cyclopropanecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-[5-chloro-3-fluoro-2-(2,2,2-trifluoroethoxy)phenyl]-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-2,2-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



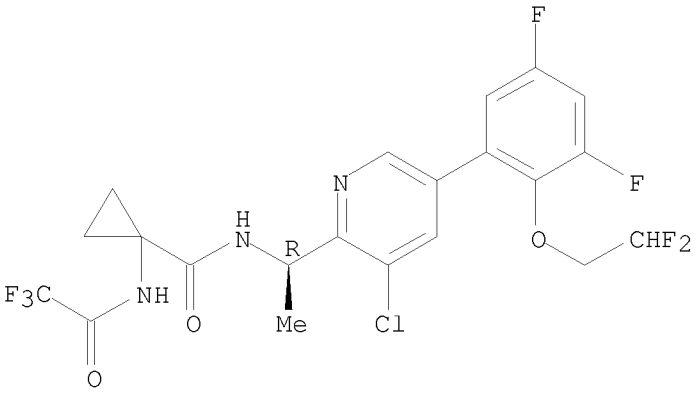
RN 669067-58-7 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[3-chloro-5-(2-ethoxy-3,5-difluorophenyl)-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



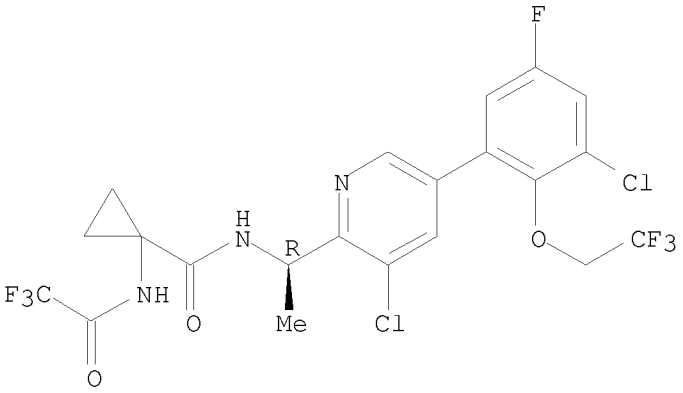
RN 669067-59-8 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[3-chloro-5-[2-(2,2-difluoroethoxy)-3,5-difluorophenyl]-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



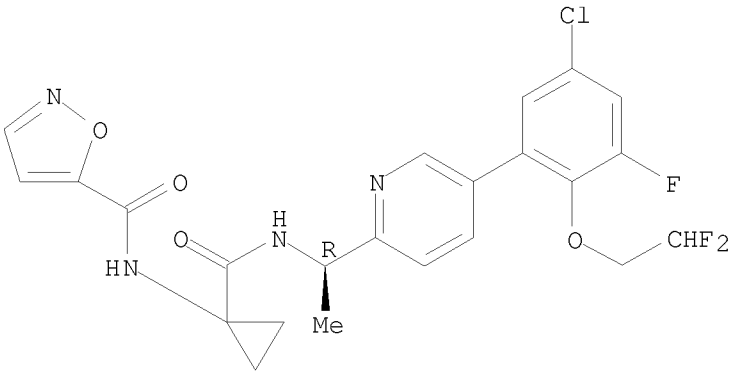
RN 669067-60-1 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[3-chloro-5-[3-chloro-5-fluoro-2-(2,2,2-trifluoroethoxy)phenyl]-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



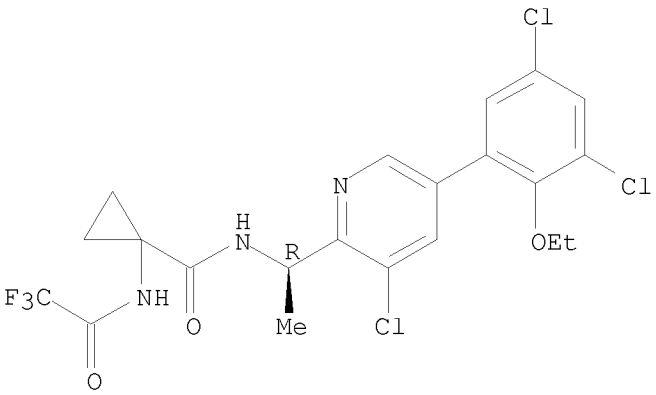
RN 669067-62-3 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[5-[5-chloro-2-(2,2-difluoroethoxy)-3-fluorophenyl]-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



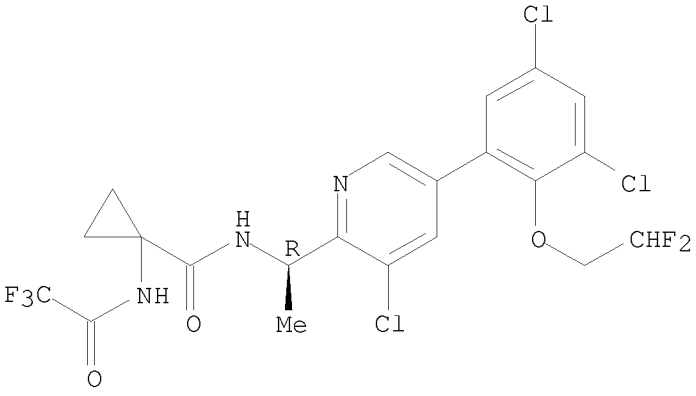
RN 669067-64-5 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[3-chloro-5-(3,5-dichloro-2-ethoxyphenyl)-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



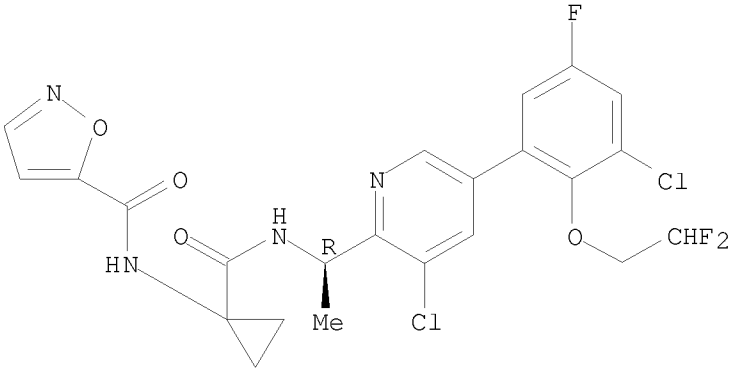
RN 669067-65-6 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[3-chloro-5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



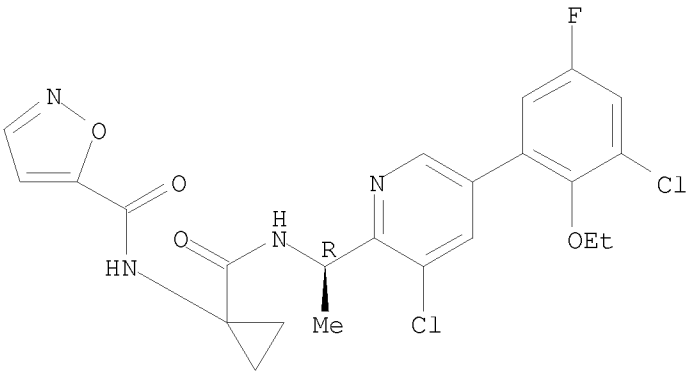
RN 669067-66-7 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-[3-chloro-2-(2,2-difluoroethoxy)-5-fluorophenyl]-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 669067-67-8 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-(3-chloro-2-ethoxy-5-fluorophenyl)-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

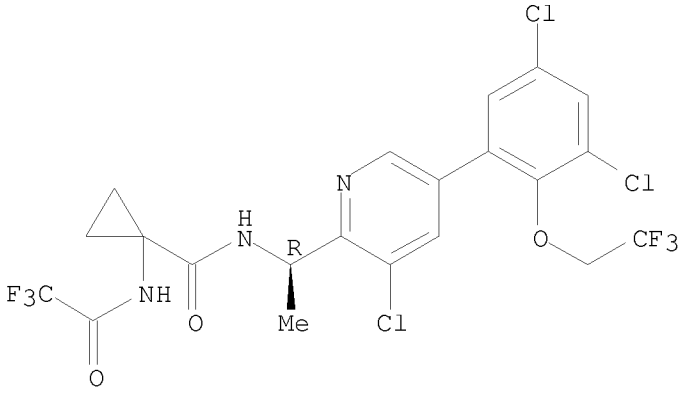
Absolute stereochemistry.



RN 669067-68-9 CAPLUS

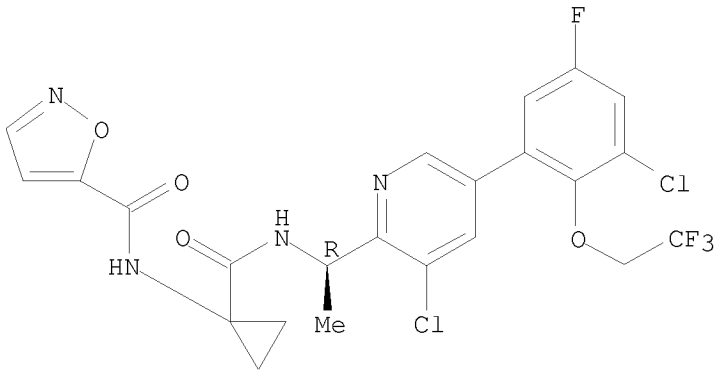
CN Cyclopropanecarboxamide, N-[(1R)-1-[3-chloro-5-[3,5-dichloro-2-(2,2,2-trifluoroethoxy)phenyl]-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



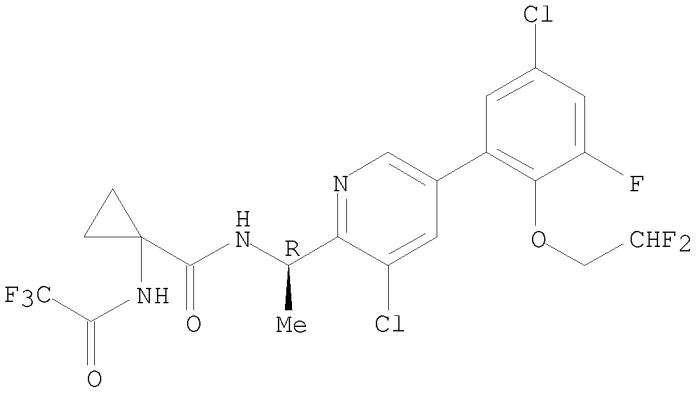
RN 669067-70-3 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-[3-chloro-5-fluoro-2-(2,2,2-trifluoroethoxy)phenyl]-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



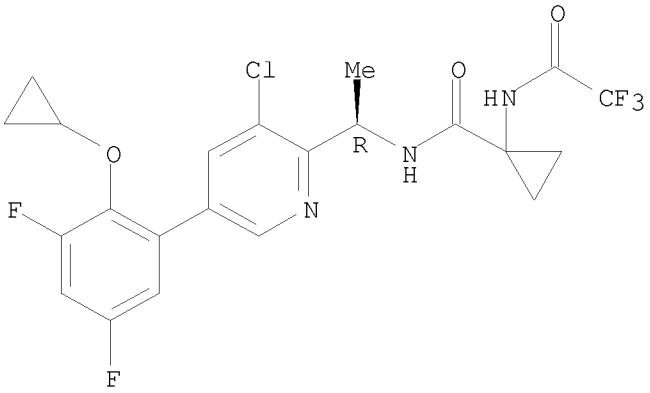
RN 669067-71-4 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[3-chloro-5-[5-chloro-2-(2,2-difluoroethoxy)-3-fluorophenyl]-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



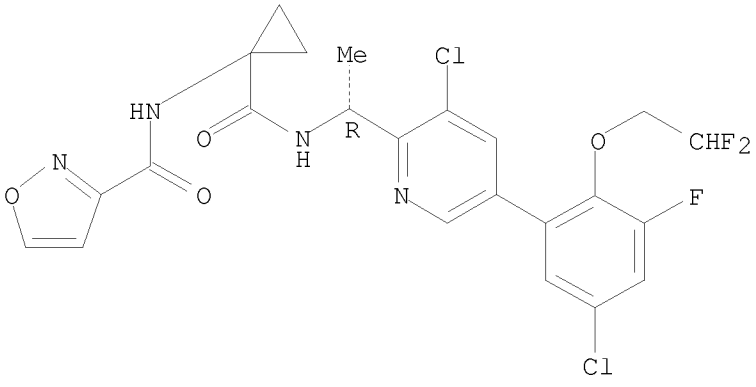
RN 669067-72-5 CAPLUS
CN Cyclopropanecarboxamide, N-[(1R)-1-[3-chloro-5-[2-(cyclopropyloxy)-3,5-difluorophenyl]-2-pyridinyl]ethyl]-1-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



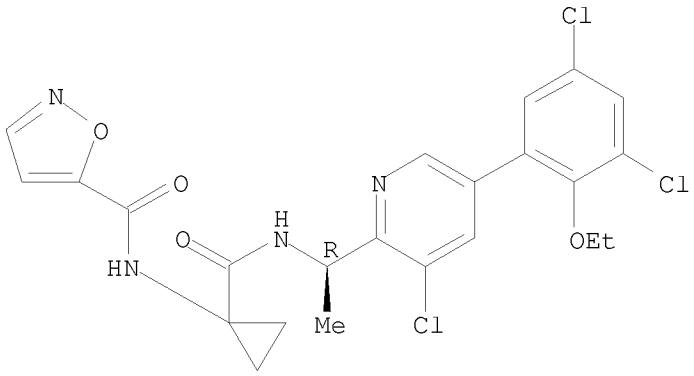
RN 669067-73-6 CAPLUS
CN 3-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-[5-chloro-2-(2,2-difluoroethoxy)-3-fluorophenyl]-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



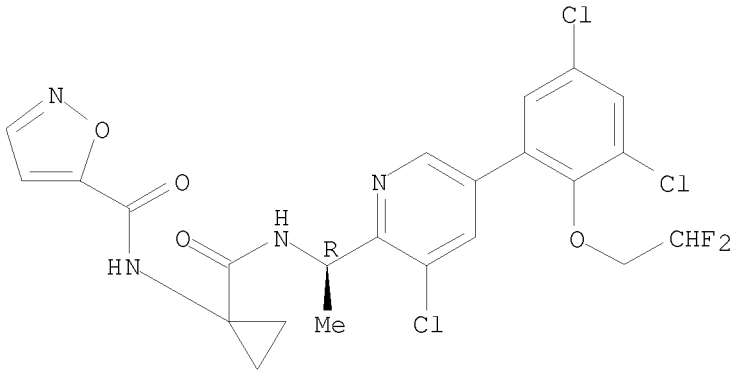
RN 669067-75-8 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-(3,5-dichloro-2-ethoxyphenyl)-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



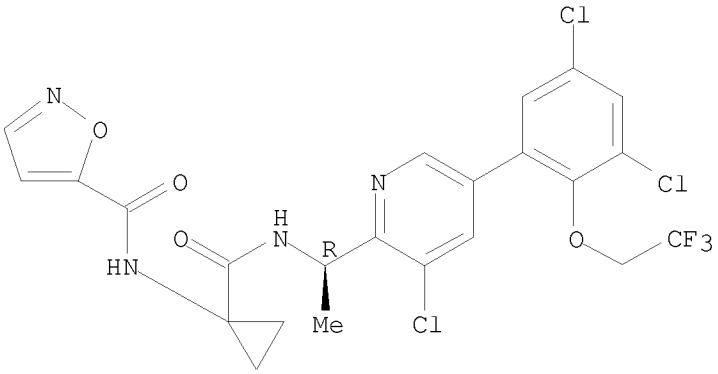
RN 669067-76-9 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 669067-77-0 CAPLUS
CN 5-Isoxazolecarboxamide, N-[1-[[[(1R)-1-[3-chloro-5-[3,5-dichloro-2-(2,2,2-trifluoroethoxy)phenyl]-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



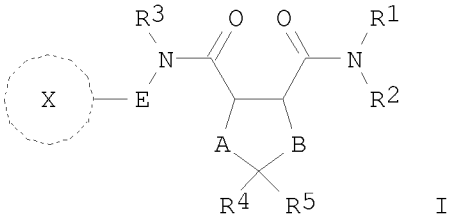
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:971837 CAPLUS
DOCUMENT NUMBER: 140:27621
TITLE: Preparation of 1,2-diamido cycloalkyl sodium channel blockers
INVENTOR(S): Fisher, Michael H.; Li, Chunshi; Liang, Jun; Meinke, Peter T.; Ok, Dong; Parsons, William H.; Shao, Pengcheng Patrick; Tyagarajan, Sriram
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101381	A2	20031211	WO 2003-US16335	20030523 <--
WO 2003101381	A3	20040212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003237224	A1	20031219	AU 2003-237224	20030523 <--

PRIORITY APPLN. INFO.: US 2002-383832P P 20020529 <--
WO 2003-US16335 W 20030523 <--

OTHER SOURCE(S): MARPAT 140:27621
GI



I

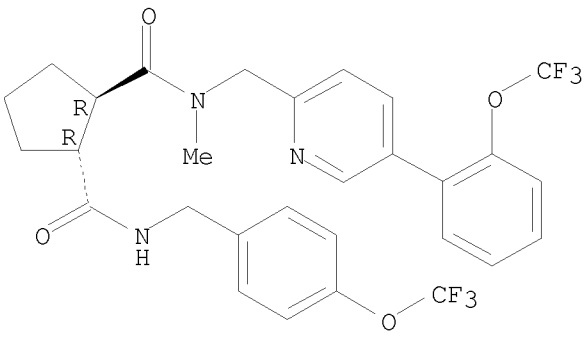
AB The patent relates to the preparation of 1,2-diamido cycloalkyl compds. I (X = Ph, pyridyl, thienyl, etc.; R1 = H, C1-6 alkyl; R2 = C0-6 alkyl-Ph, C1-6 alkylthienyl, C1-6 alkylthiazolyl, etc.; R1R2 = 5 or 6 membered ring; E = C1-6 alkyl; R3 = C0-6 alkyl; A = CnH2n; B = CmH2m; n, m = 0-3; n + m = 1-3; R4, R5 = C0-6 alkyl, OH, halo, etc.). The 1,2-diamido cycloalkyl compds. are useful as: sodium channel blockers; pharmaceutical compns. that include an effective amount of the aryl-link-aryl thiazolidindione and aryl-link-aryl oxazolodinedione compds. and a pharmaceutically acceptable carrier; and a method of treatment of acute pain, chronic pain, visceral pain, inflammatory pain, or neuropathic pain, as well as irritable bowel syndrome, Crohn's disease, epilepsy, partial and generalized tonic seizures, multiple sclerosis, bipolar disease, and tachyarrhythmias by the administration of an effective amount of aryl-link-aryl thiazolidine-dione and aryl-link-aryl oxazolodine-dione compds., either alone, or in combination with one or more therapeutically active compds. Thus, trans-1-(RS)-[4-(2-aminosulfonylphenyl)]benzylaminocarbonyl-2-(SR)-benzylaminocarbonylcyclopentane was prepared by reacting a mixture comprising 1-(RS)-[4-(2-aminosulfonylphenyl)]benzylaminocarbonyl-2-(SR)-carboxycyclopentane, N-hydroxybenzotriazole, diisopropylethylamine, benzotriazol-1-yloxytris(dimethylamino)phosphonium hexafluorophosphate, and benzylamine wherein 1-(RS)-[4-(2-aminosulfonylphenyl)]benzylaminocarbonyl-2-(SR)-carboxycyclopentane was prepared from the reaction of trans-DL-cyclopentane dicarboxylic acid and 4-(2-aminosulfonylphenyl)benzylamine.

IT 632338-84-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,2-diamido cycloalkyl sodium channel blockers)

RN 632338-84-2 CAPLUS

CN 1,2-Cyclopentanedicarboxamide, N1-methyl-N2-[[4-(trifluoromethoxy)phenyl]methyl]-N1-[[5-[2-(trifluoromethoxy)phenyl]-2-pyridinyl]methyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



```
=> fil stnguide
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      41.23     220.26

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                      -5.60      -5.60

FILE 'STNGUIDE' ENTERED AT 13:30:10 ON 24 DEC 2008
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Dec 19, 2008 (20081219/UP).

=> logoff
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.30     220.56

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                       0.00      -5.60

STN INTERNATIONAL LOGOFF AT 13:33:00 ON 24 DEC 2008
```